

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Lin Examiner #: 77011 Date: 8/11/03  
 Art Unit: 1624 Phone Number 30 6-5844 Serial Number: 09/960,634  
 Mail Box and Bldg/Room Location: 4501 Results Format Preferred (circle): PAPER DISK E-MAIL

HE12 If more than one search is submitted, please prioritize searches in order of need. MEJ

\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

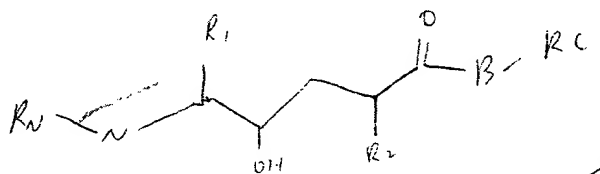
Title of Invention: Compds to treat Alzheimer's  
 Inventors (please provide full names): Horn R Mann S Tung J  
Gailunas A

Earliest Priority Filing Date: \_\_\_\_\_

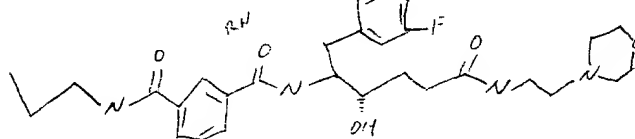
\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Barb please!

RECEIVED  
 AUG 12 2003  
 (STIC)



Applicants elected species



## STAFF USE ONLY

Searcher: POCB  
 Searcher Phone #: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: \_\_\_\_\_  
 Date Completed: 8-18-03  
 Searcher Prep & Review Time: \_\_\_\_\_  
 Clerical Prep Time: \_\_\_\_\_  
 Online Time: 2-8

## Type of Search

NA Sequence (#) \_\_\_\_\_  
 AA Sequence (#) \_\_\_\_\_  
 Structure (#) 1  
 Bibliographic \_\_\_\_\_  
 Litigation \_\_\_\_\_  
 Fulltext \_\_\_\_\_  
 Patent Family \_\_\_\_\_  
 Other \_\_\_\_\_

## Vendors and cost where applicable

STN 703  
 Dialog \_\_\_\_\_  
 Questel/Orbit \_\_\_\_\_  
 Dr.Link \_\_\_\_\_  
 Lexis/Nexis (116)  
 Sequence Systems \_\_\_\_\_  
 WWW/Internet 771011  
 Other (specify) \_\_\_\_\_

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# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 100919

TO: Hong Liu  
Location: CM1/4E01/4E12  
Art Unit: 1624  
Monday, August 18, 2003

Case Serial Number: 09/960634

From: Barb O'Bryen  
Location: Biotech-Chem Library  
CM1-6A05  
Phone: 308-4291 *BOB*

barbara.obryen@uspto.gov

### Search Notes

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# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor  
308-4258, CM1-1E01

## Voluntary Results Feedback Form

➤ I am an examiner in Workgroup:  Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ. Desk



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=> fil reg; d stat que l13; fil capl; d que nos l15; fil uspatf; d que nos l16  
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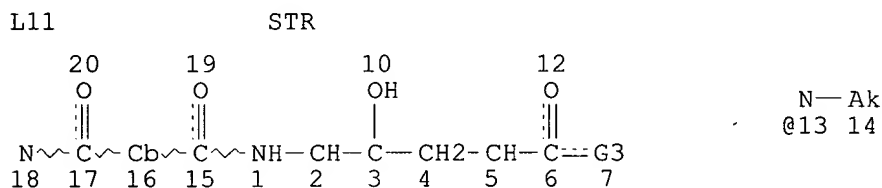
STRUCTURE FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3  
DICTIONARY FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>



VAR G3=O/NH/13  
NODE ATTRIBUTES:  
CONNECT IS E1 RC AT 14  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY LOC UNS AT 16  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
L13 38 SEA FILE=REGISTRY SSS FUL L11

100.0% PROCESSED 174889 ITERATIONS  
SEARCH TIME: 00.00.14

38 ANSWERS

FILE 'CAPLUS' ENTERED AT 10:20:24 ON 18 AUG 2003  
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FILE COVERS 1907 - 18 Aug 2003 VOL 139 ISS 8  
FILE LAST UPDATED: 17 Aug 2003 (20030817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L11 STR  
L13 38 SEA FILE=REGISTRY SSS FUL L11  
L15 3 SEA FILE=CAPLUS ABB=ON L13

FILE 'USPATFULL' ENTERED AT 10:20:24 ON 18 AUG 2003  
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Aug 2003 (20030814/PD)  
FILE LAST UPDATED: 14 Aug 2003 (20030814/ED)  
HIGHEST GRANTED PATENT NUMBER: US6606748  
HIGHEST APPLICATION PUBLICATION NUMBER: US2003154532  
CA INDEXING IS CURRENT THROUGH 14 Aug 2003 (20030814/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Aug 2003 (20030814/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published/granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
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>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
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>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L11 STR  
L13 38 SEA FILE=REGISTRY SSS FUL L11  
L16 3 SEA FILE=USPATFULL ABB=ON L13

=> dup rem l15,l16

FILE 'CAPLUS' ENTERED AT 10:20:32 ON 18 AUG 2003



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FILE 'USPATFULL' ENTERED AT 10:20:32 ON 18 AUG 2003  
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PROCESSING COMPLETED FOR L15  
PROCESSING COMPLETED FOR L16

L18 5 DUP REM L15 L16 (1 DUPLICATE REMOVED)  
ANSWERS '1-3' FROM FILE CAPLUS  
ANSWERS '4-5' FROM FILE USPATFULL

=> d:ibib abs hitstr 1-5; fil cao; d que nos l17; fil hom

L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2003:43054 CAPLUS  
DOCUMENT NUMBER: 138:107007  
TITLE: Preparation of 5-amino-4-hydroxypentanoic acid  
derivatives for treating Alzheimer's disease  
INVENTOR(S): Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea;  
John, Varghese; Fang, Lawrence  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S.  
Ser. No. 815,960.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003013881	A1	20030116	US 2001-960634	20010921
US 2002019403	A1	20020214	US 2001-816876	20010323
US 2002022623	A1	20020221	US 2001-815960	20010323

PRIORITY APPLN. INFO.:  
US 2000-191528P P 20000323  
US 2001-815960 A2 20010323  
US 2001-816876 A2 20010323

OTHER SOURCE(S): MARPAT 138:107007

AB The invention is directed toward substituted hydroxyethylene compds.  
having the fragment -NHCHR<sub>1</sub>CH(OH)CH<sub>2</sub>CHR<sub>2</sub>CO- [R<sub>1</sub> = alkyl, alkylthioalkyl,  
alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclalkyl, or  
heterocyclyl; R<sub>2</sub> = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in  
treating Alzheimer's disease and similar diseases. In an example,  
N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-  
dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophthalamide  
was prepd. by soln.-based methodol.

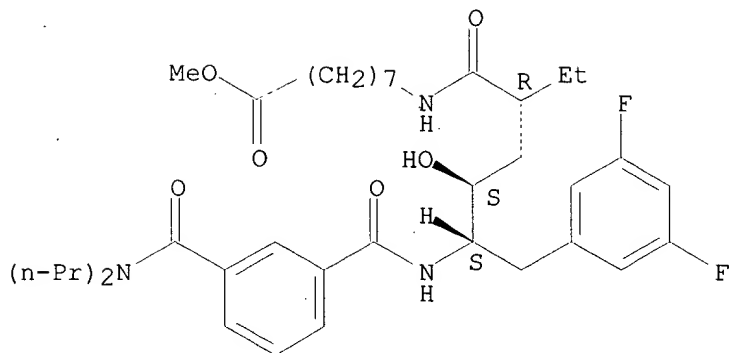
IT 362479-96-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of amino(hydroxy)pentanoic acid derivs. for treating  
Alzheimer's disease)

RN 362479-96-7 CAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[[dipropylamino]carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 362480-11-3P 362480-12-4P 362480-13-5P  
 362480-14-6P 362480-15-7P 362480-16-8P  
 362480-17-9P 362480-18-0P 362480-20-4P  
 362480-21-5P 362480-22-6P 362480-23-7P  
 362480-24-8P 362480-25-9P 362480-26-0P  
 362480-27-1P 362480-28-2P 362480-29-3P  
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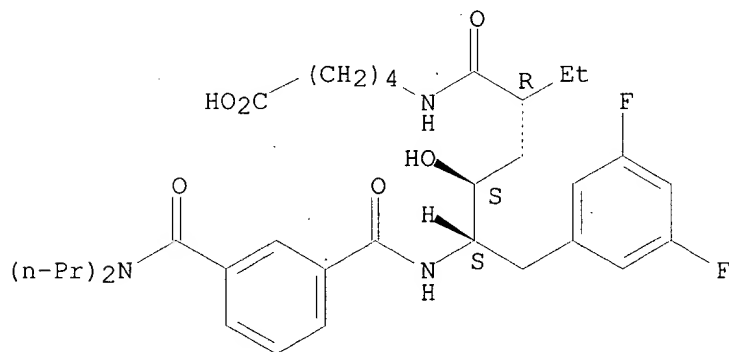
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362480-11-3 CAPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

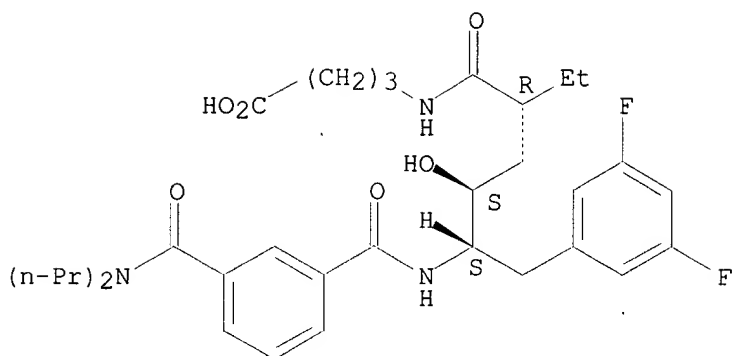
Absolute stereochemistry.



RN 362480-12-4 CAPLUS

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

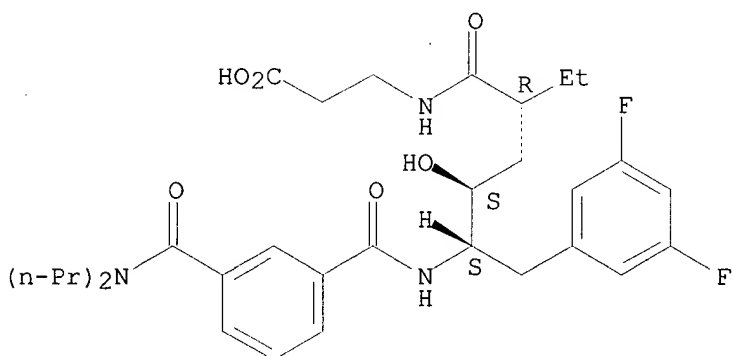
Absolute stereochemistry.



RN 362480-13-5 CAPLUS

CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-(9CI) (CA INDEX NAME)

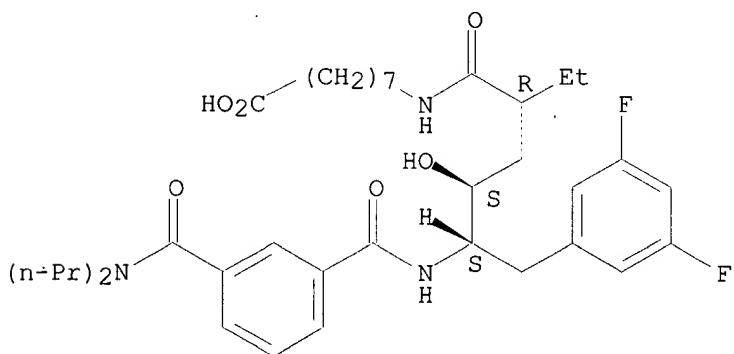
Absolute stereochemistry.



RN 362480-14-6 CAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

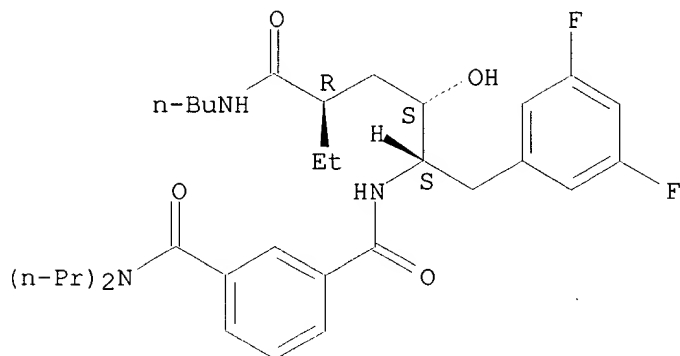


RN 362480-15-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

NAME)

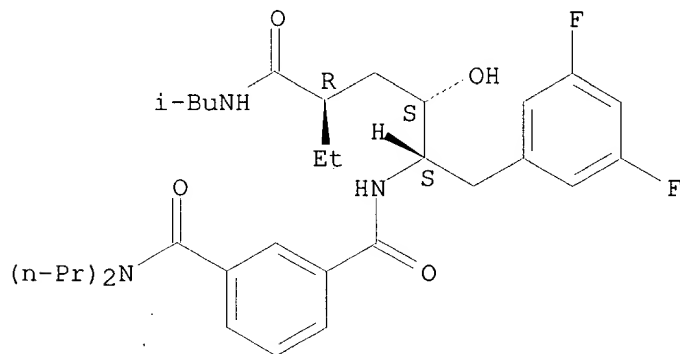
Absolute stereochemistry.



RN 362480-16-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

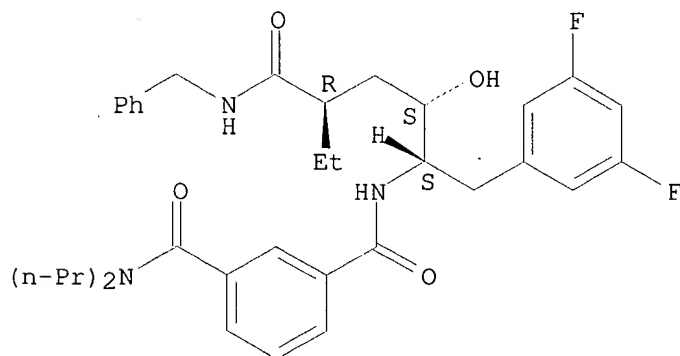
Absolute stereochemistry.



RN 362480-17-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

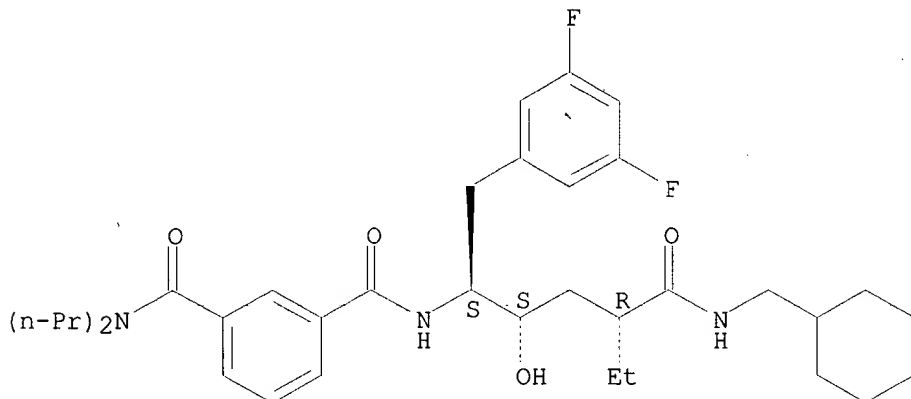
Absolute stereochemistry.



RN 362480-18-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[cyclohexylmethyl]amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

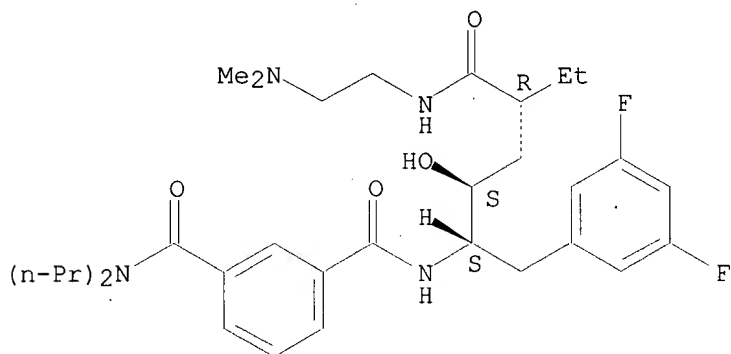
Absolute stereochemistry.



RN 362480-20-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

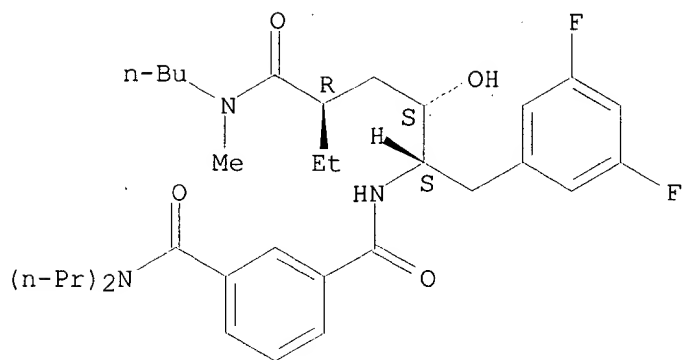
Absolute stereochemistry.



RN 362480-21-5 CAPLUS

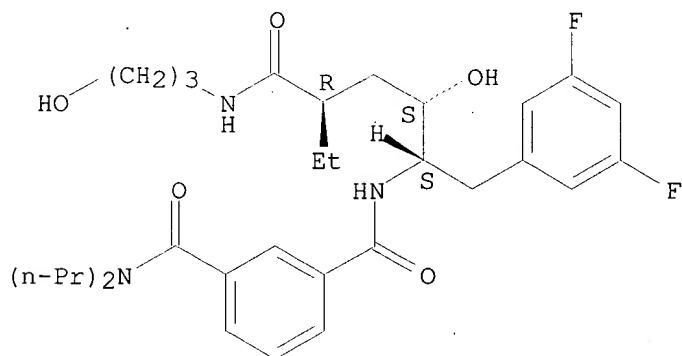
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-22-6 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[ (3-hydroxypropyl) amino] carbonyl]hexyl]-N,N-dipropyl- (9CI)  
 (CA INDEX NAME)

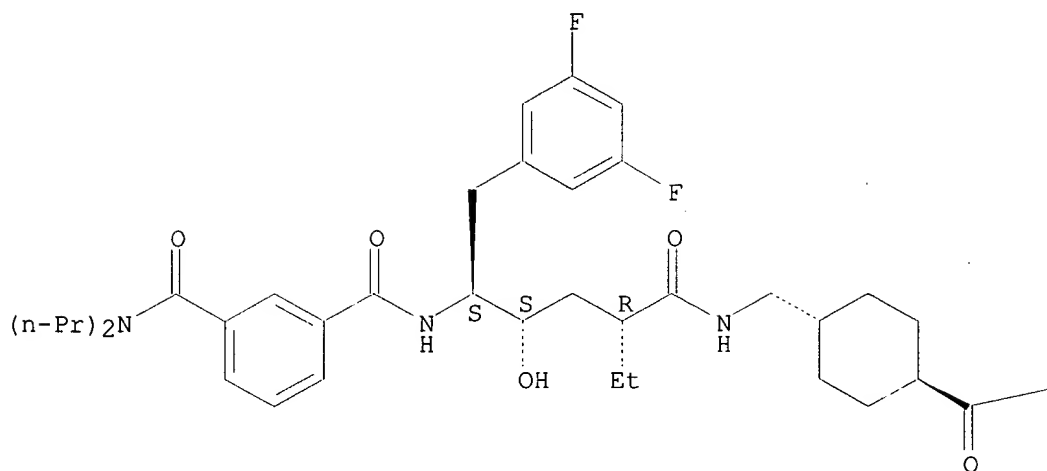
Absolute stereochemistry.



RN 362480-23-7 CAPLUS  
 CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



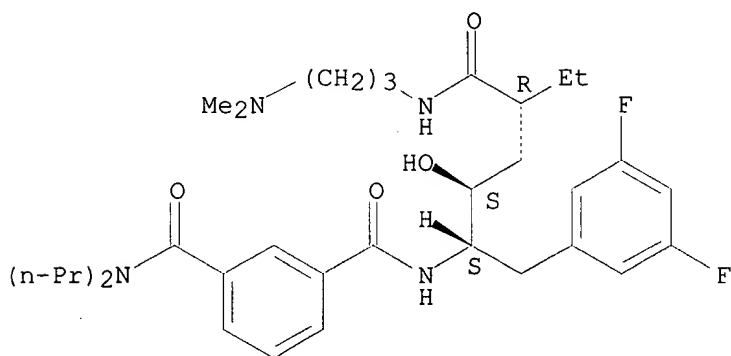
PAGE 1-B

—OMe

RN 362480-24-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-  
 [[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-  
 (9CI) (CA INDEX NAME)

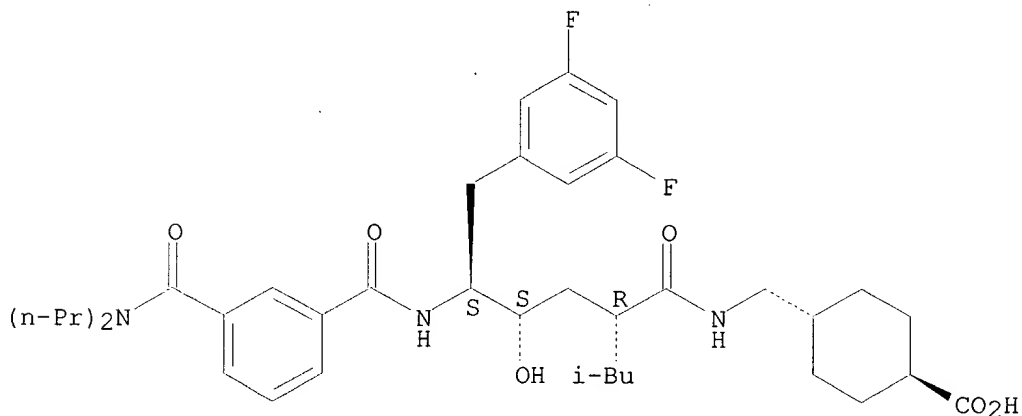
Absolute stereochemistry.



RN 362480-25-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

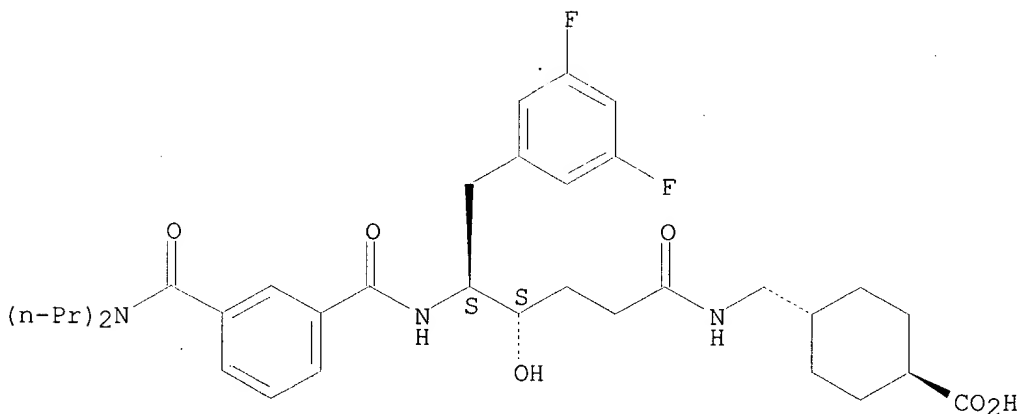
Absolute stereochemistry.



RN 362480-26-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

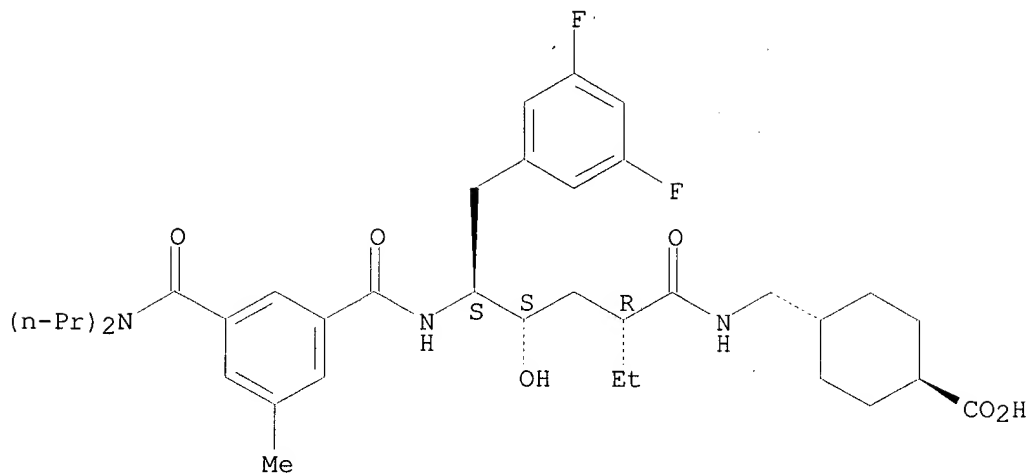


RN 362480-27-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



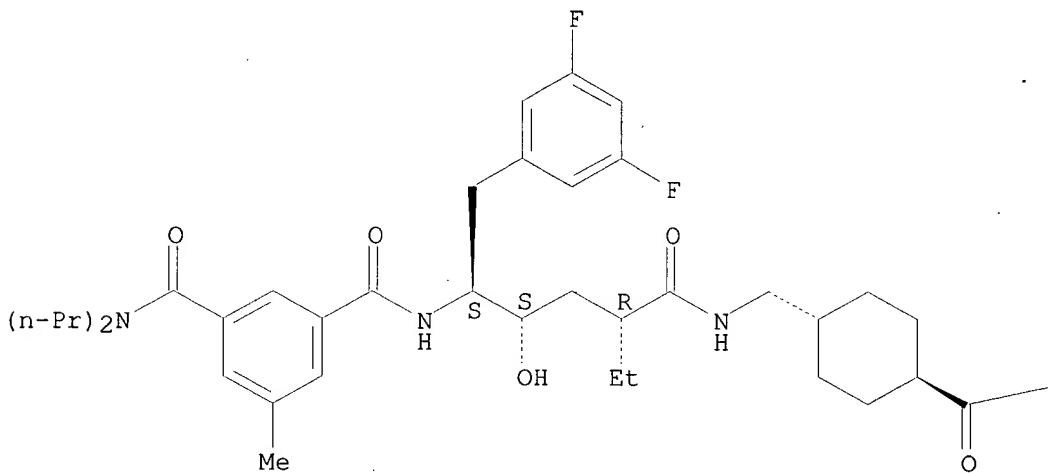


RN 362480-28-2 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

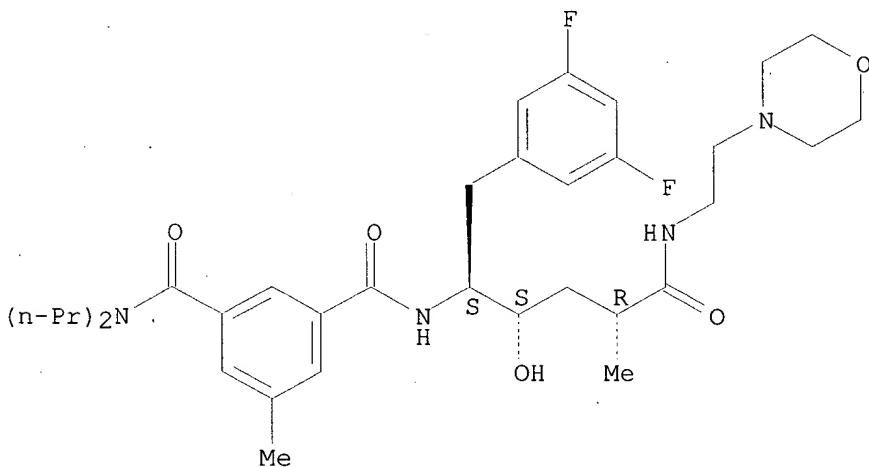


PAGE 1-B

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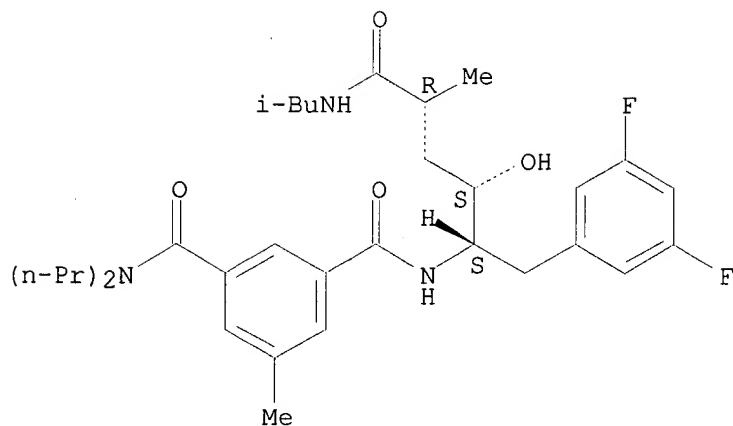
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CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-30-6 CAPLUS  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

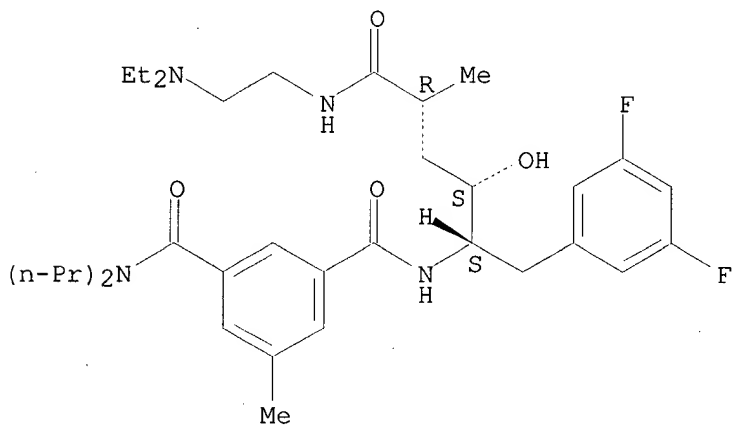
Absolute stereochemistry.



RN 362480-31-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

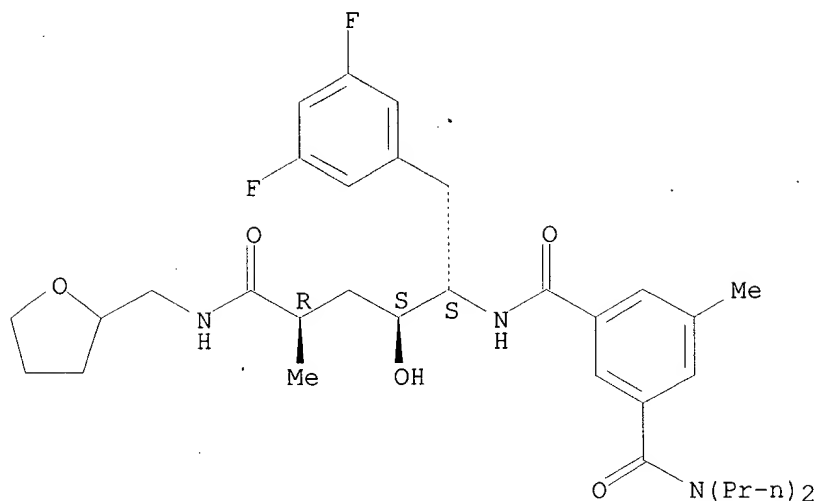
Absolute stereochemistry.



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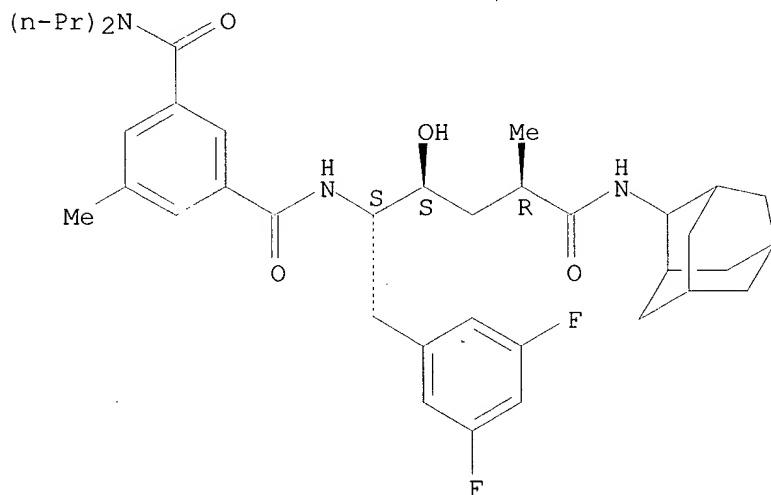
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[[tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



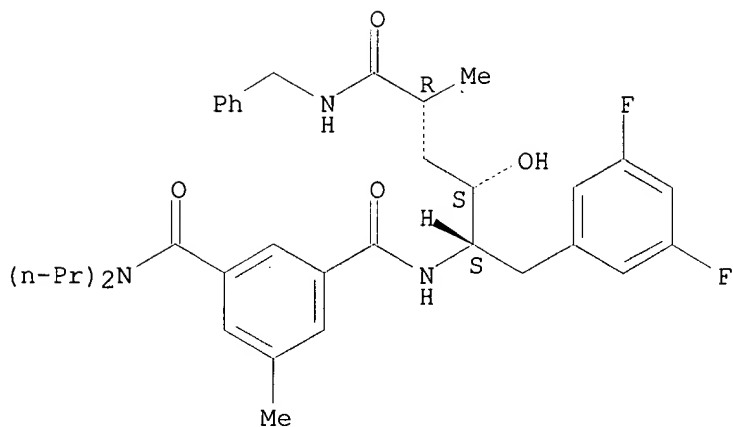
RN 362480-33-9 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.1.3,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-35-1 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

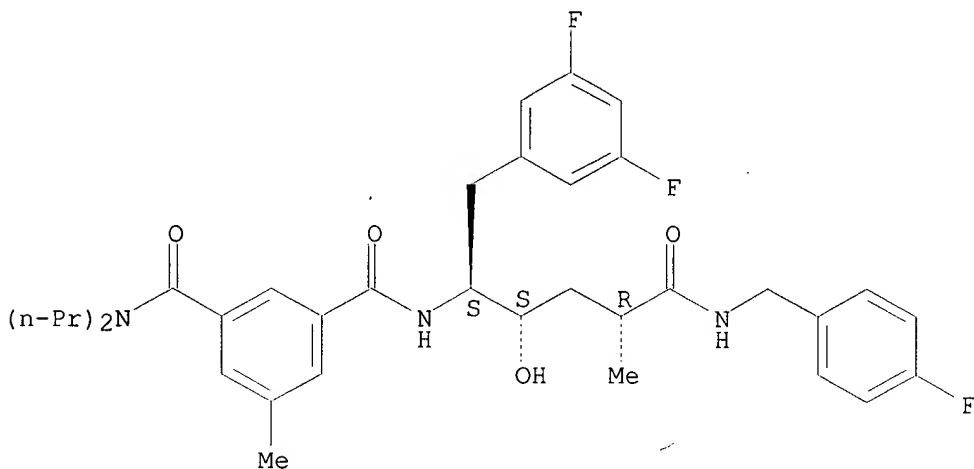
Absolute stereochemistry.



RN 362480-36-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

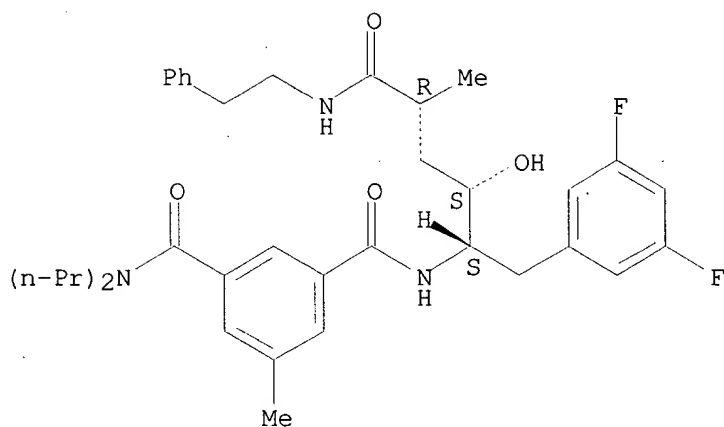
Absolute stereochemistry.



RN 362480-37-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

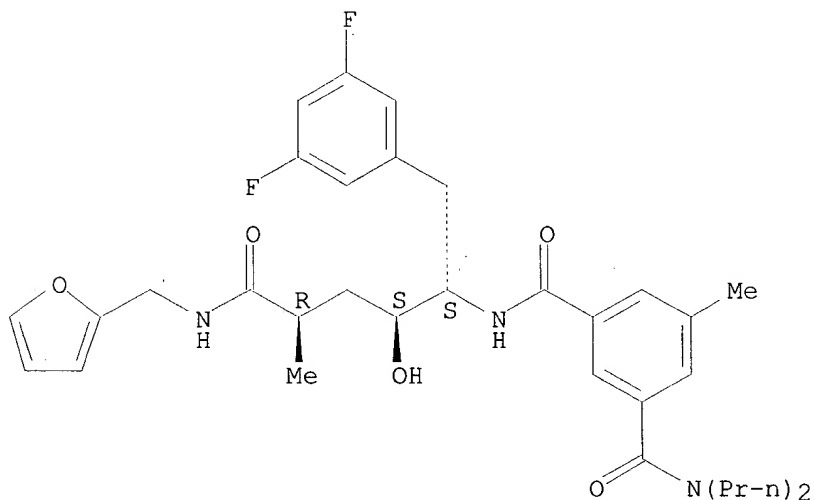
Absolute stereochemistry.



RN 362480-38-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

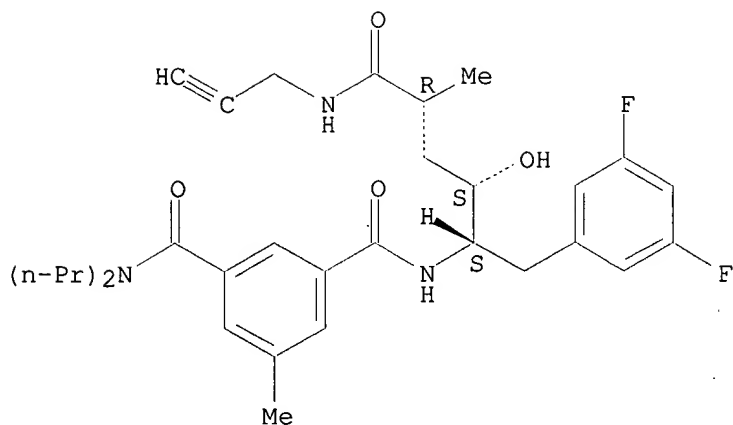
Absolute stereochemistry.



RN 362480-39-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

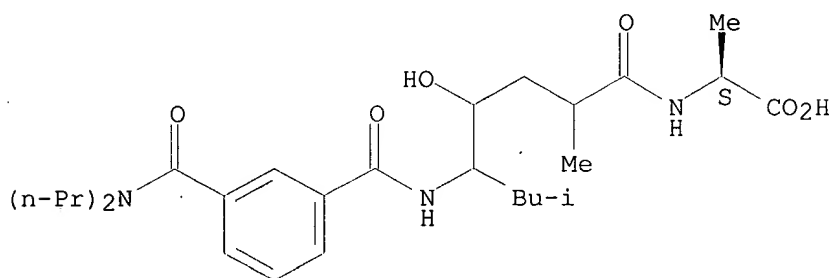
Absolute stereochemistry.



RN 485807-13-4 CAPLUS

CN L-Alanine, N-[5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]- (9CI) (CA INDEX NAME)

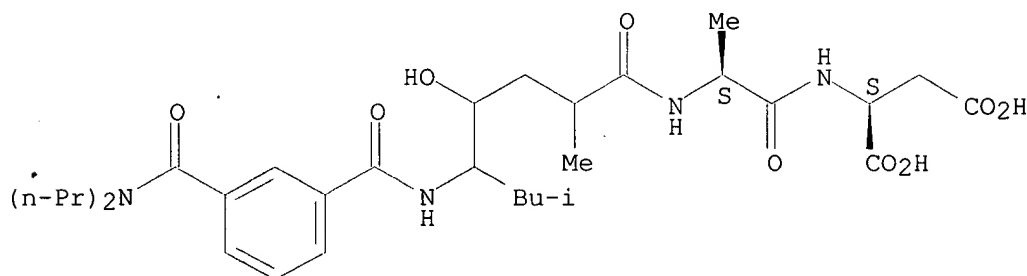
Absolute stereochemistry.



RN 485807-14-5 CAPLUS

CN L-Aspartic acid, N-[5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

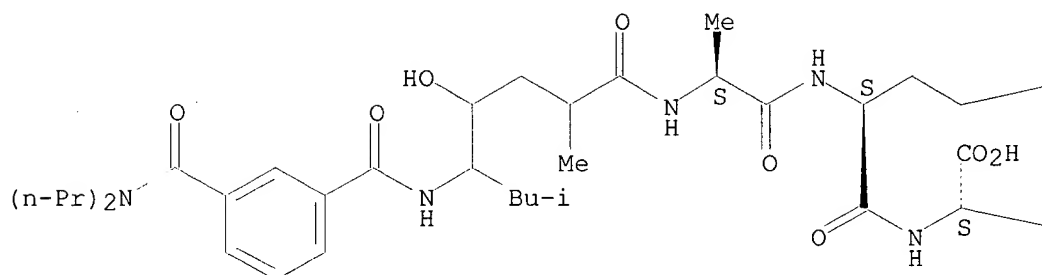


RN 485807-15-6 CAPLUS

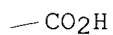
CN L-Phenylalanine, N-[5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]-L-alanyl-L-.alpha.-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



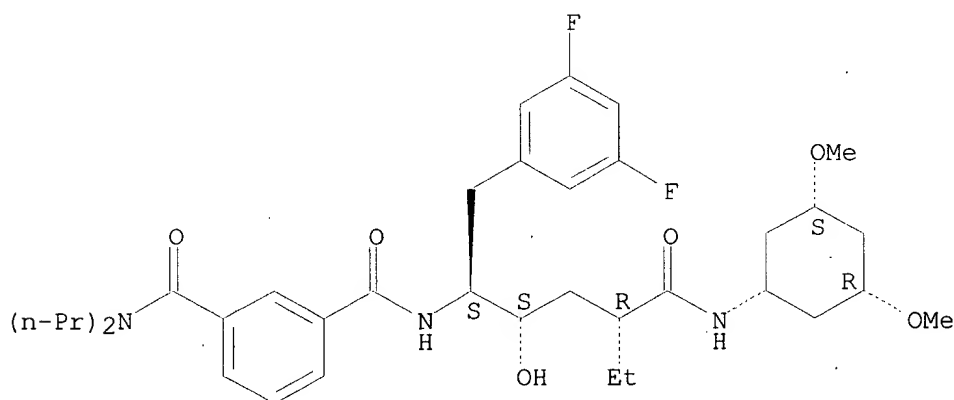
IT 362479-94-5P 362479-95-6P 362479-97-8P  
362479-98-9P 362479-99-0P 362480-00-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 . (prepn. of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-94-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

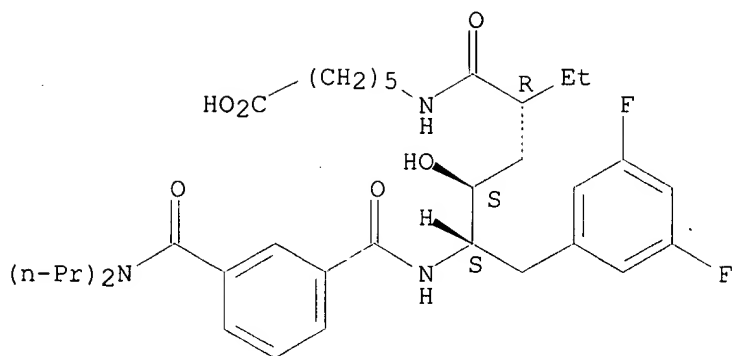


RN 362479-95-6 CAPLUS

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

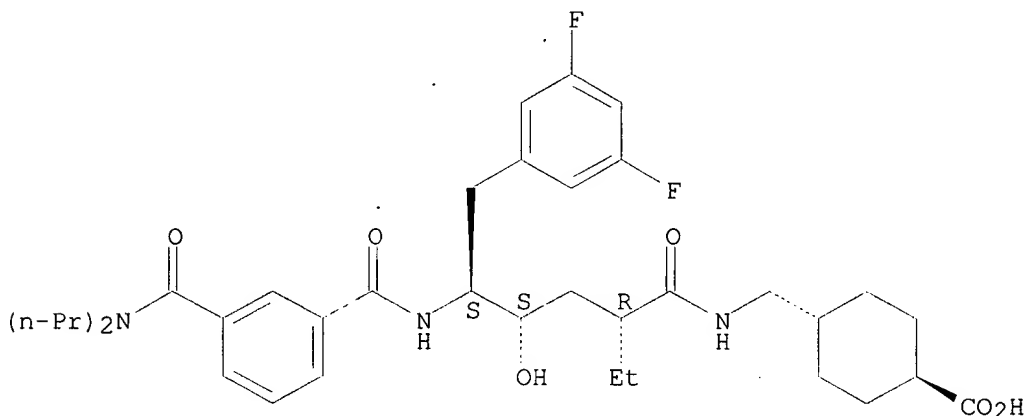




RN 362479-97-8 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

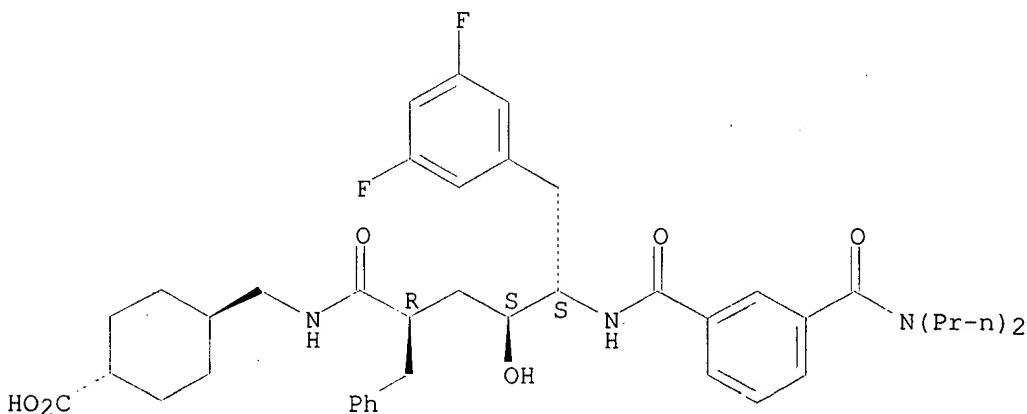
Absolute stereochemistry.



RN 362479-98-9 CAPLUS

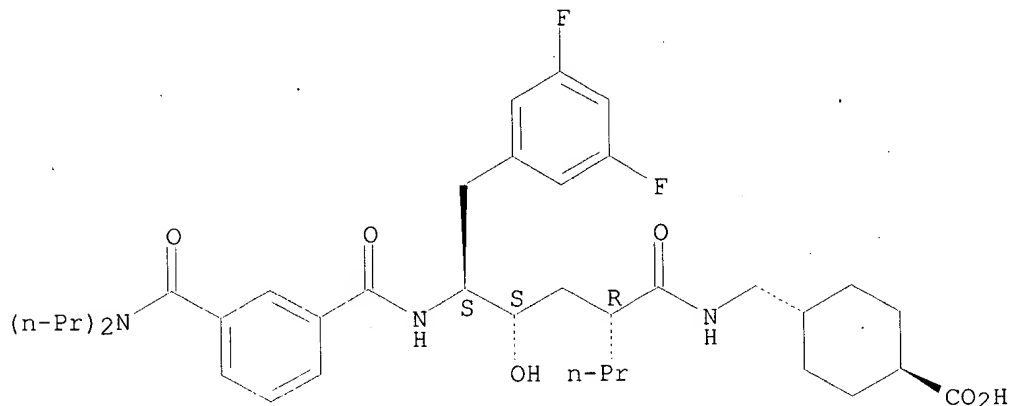
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



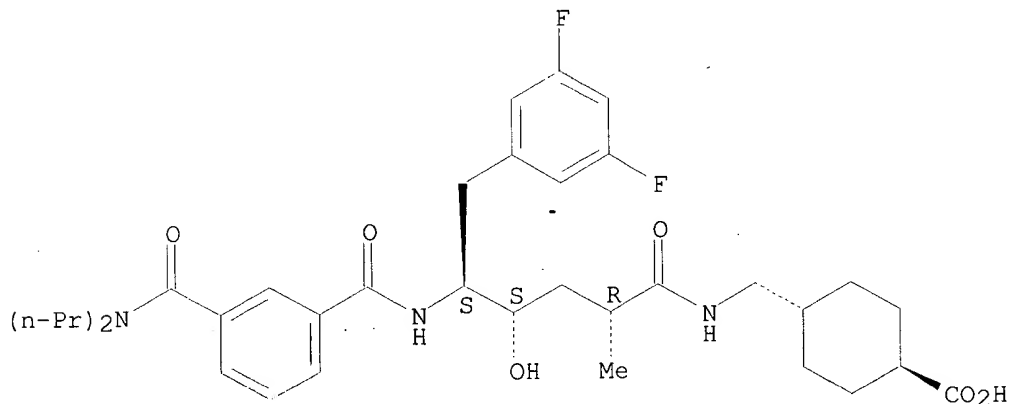
RN 362479-99-0 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-  
propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-00-0 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

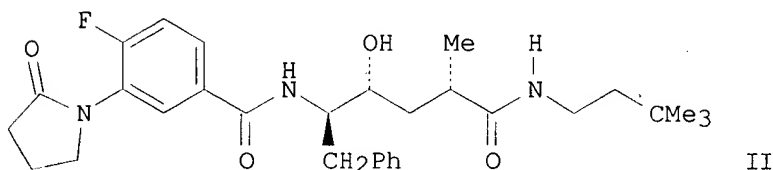
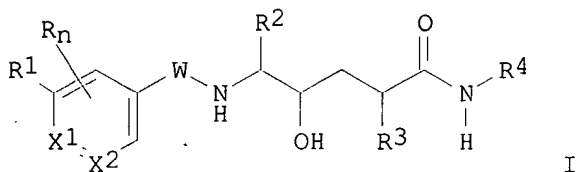
Absolute stereochemistry.



LIB ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2003:434529 CAPLUS  
DOCUMENT NUMBER: 139:22107  
TITLE: Preparation of benzamide derivatives as inhibitors of  
Asp-2  
INVENTOR(S): Faller, Andrew; MacPherson, David Timothy; Milner,  
Peter Henry; Stanway, Steven James; Trouw, Leontine  
Saskia  
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
SOURCE: PCT Int. Appl., 55 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045913	A1	20030605	WO 2002-EP13515	20021129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2001-28748	A 20011130
			GB 2002-14090	A 20020618
OTHER SOURCE(S):		MARPAT 139:22107		
GI				



AB Benzamides I [X1, X2 = N, (un)substituted CH; W = CO, SO2; R = halogen; R1 = 2-oxo-substituted N heterocyclic; R2 = alkyl, aralkyl, heterocyclalkyl, cycloalkylalkyl, arylthioalkyl, aryloxyalkyl, heterocyclthioalkyl, heterocyclloxyalkyl; R3 = (un)substituted alkyl, propargyl; R4 = (un)substituted alkyl; n = 0-2], having Asp-2 (.beta.-secretase, BACE1 or Memapsin) inhibitory activity, useful in the treatment of diseases characterized by elevated .beta.-amyloid levels or .beta.-amyloid deposits, particularly Alzheimer's disease, were prepd. Thus, tert.-Bu [(S)-1-(4-methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamate was treated with Me3CCH2CH2NH2 and deblocked to give (2R,4S,5S)-H2NCH(CH2Ph)CH(OH)CH2CHMeCH2CH2CMe3 which was acylated with 3,4-Br(F)C6H3CO2H and treated with 2-pyrrolidinone to give the benzamide II. II had an IC50 for inhibition of Asp-2 of 600 nM.

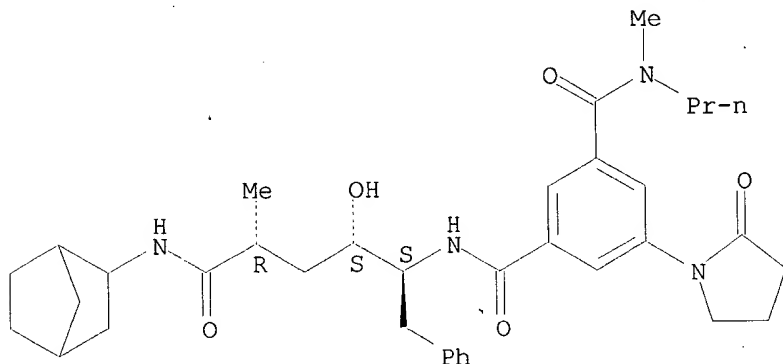
IT 539821-12-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamide derivs. as inhibitors of Asp-2)

RN 539821-12-0 CAPLUS  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-(bicyclo[2.2.1]hept-2-ylamino)-2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-N-methyl-5-(2-oxo-1-pyrrolidinyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~138~~ ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:713293 CAPLUS

DOCUMENT NUMBER: 135:273220

TITLE: Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease

INVENTOR(S): Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Larry

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070672	A2	20010927	WO 2001-US9501	20010323
WO 2001070672	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1265849 A2 20021218 EP 2001-926424 20010323

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-191528P P 20000323  
WO 2001-US9501 W 20010323

OTHER SOURCE(S): MARPAT 135:273220

AB Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl,

arylalkyl, etc.; R4 = H, alkyl, etc.], were prepd. as agents for the treatment of Alzheimer's disease. Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH2CHMe2)CH(OH)CH(CHMe2)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prepd. hydroxyethylenes were tested for .beta.-secretase inhibiting activity.

IT 362479-94-5P 362479-95-6P 362479-96-7P  
 362479-97-8P 362479-98-9P 362479-99-0P  
 362480-00-0P 362480-11-3P 362480-12-4P  
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 362480-16-8P 362480-17-9P 362480-18-0P  
 362480-20-4P 362480-21-5P 362480-22-6P  
 362480-23-7P 362480-24-8P 362480-25-9P  
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 362480-39-5P

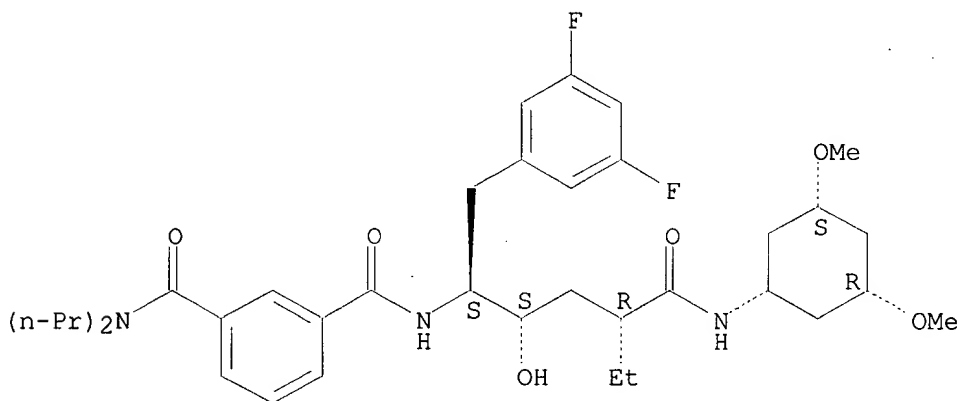
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

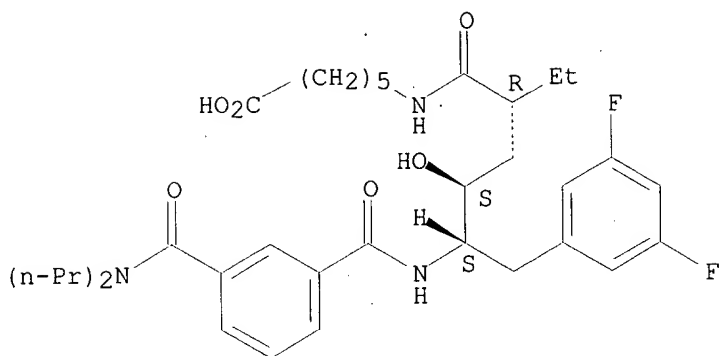
Absolute stereochemistry.



RN 362479-95-6 CAPLUS

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

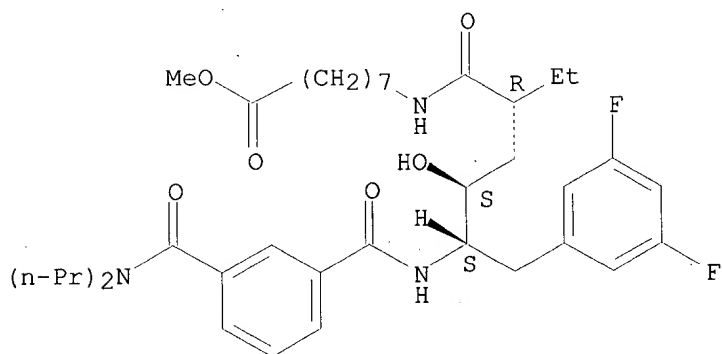
Absolute stereochemistry.



RN 362479-96-7 CAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

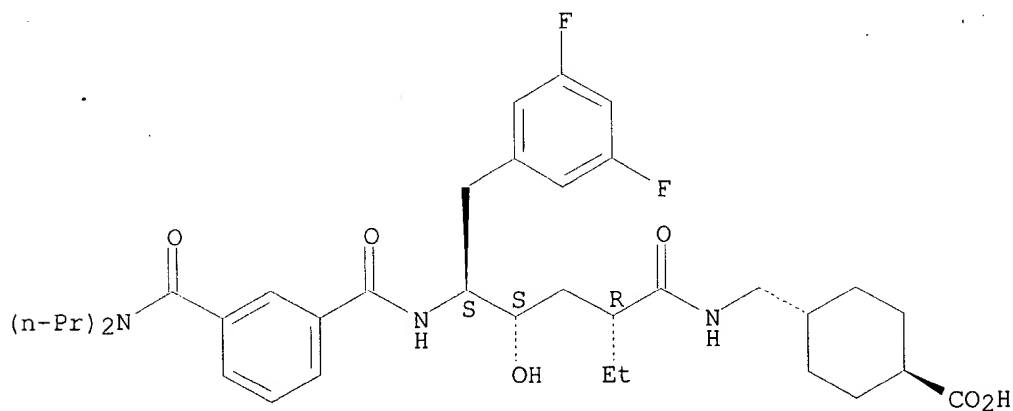
Absolute stereochemistry.



RN 362479-97-8 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

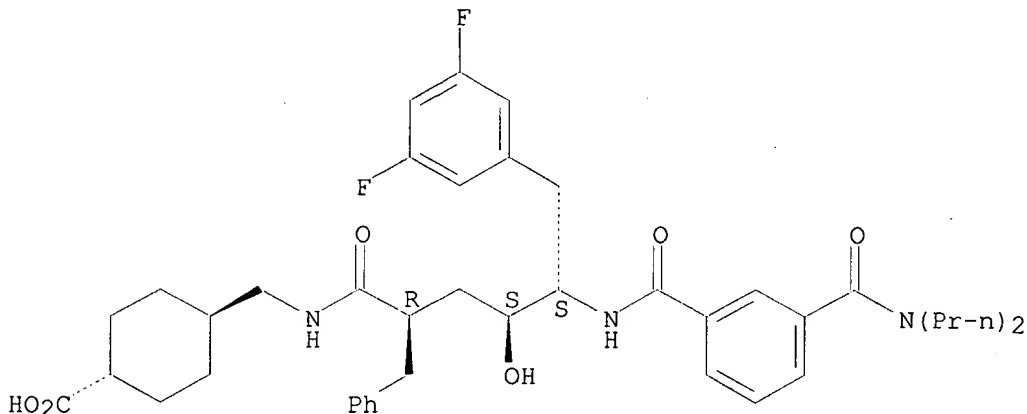
Absolute stereochemistry.



RN 362479-98-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

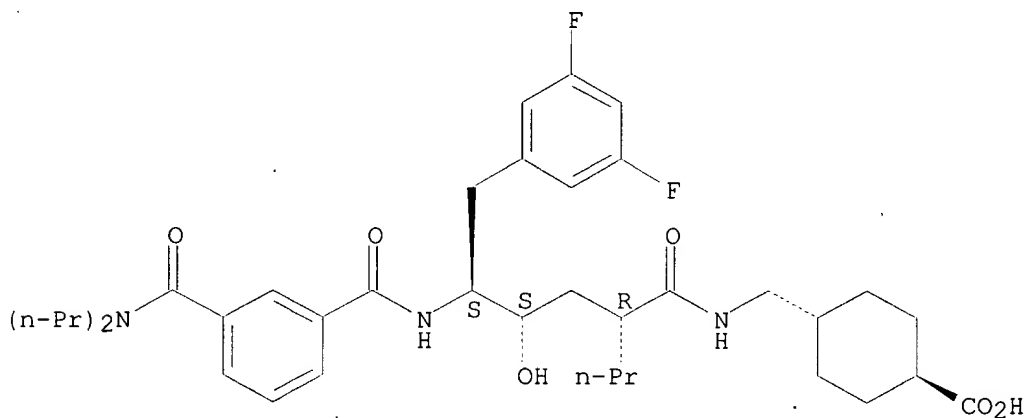
Absolute stereochemistry.



RN 362479-99-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

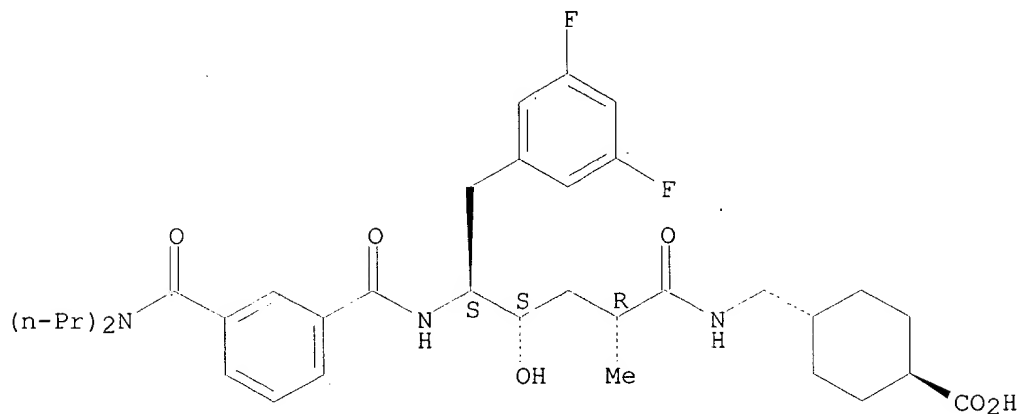
Absolute stereochemistry.



RN 362480-00-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

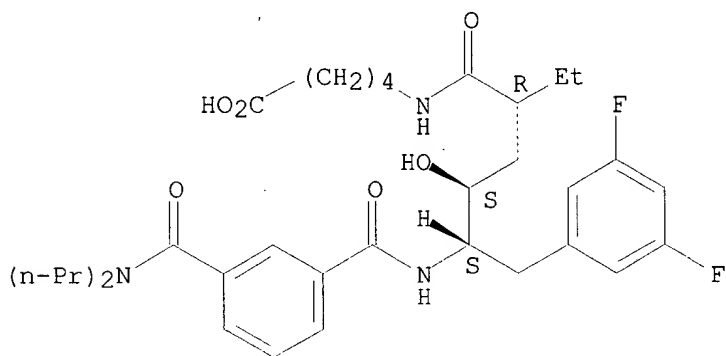
Absolute stereochemistry.



RN 362480-11-3 CAPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

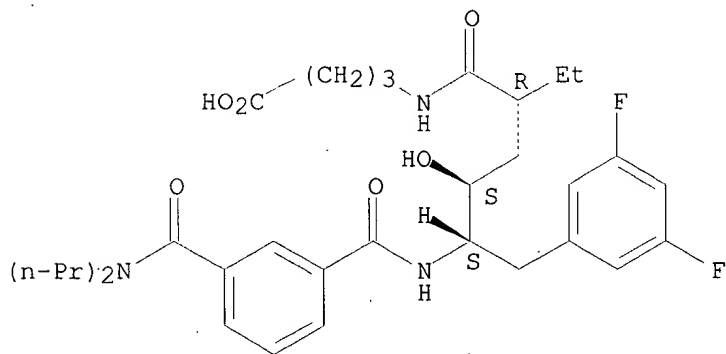
Absolute stereochemistry.



RN 362480-12-4 CAPLUS

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

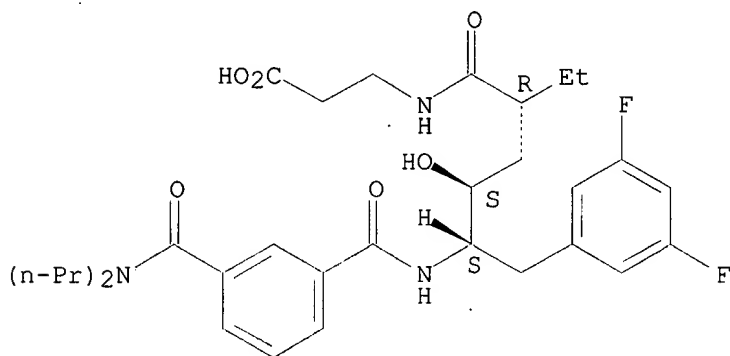


RN 362480-13-5 CAPLUS



CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-  
(9CI) (CA INDEX NAME)

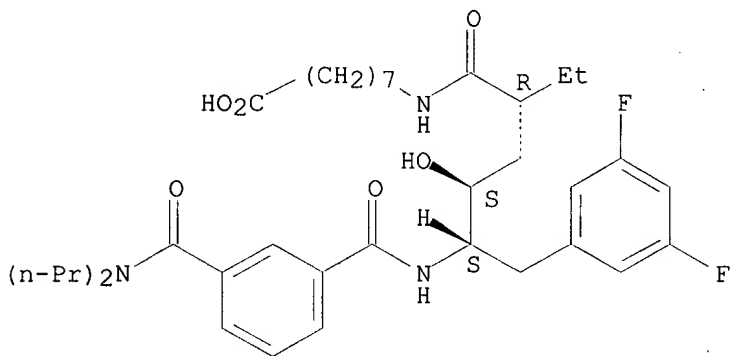
Absolute stereochemistry.



RN 362480-14-6 CAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]- (9CI) (CA INDEX NAME)

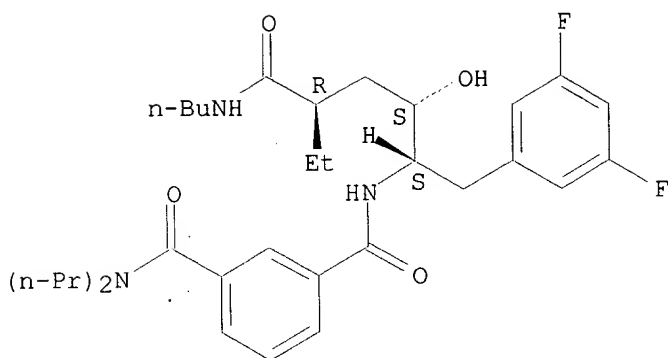
Absolute stereochemistry.



RN 362480-15-7 CAPLUS

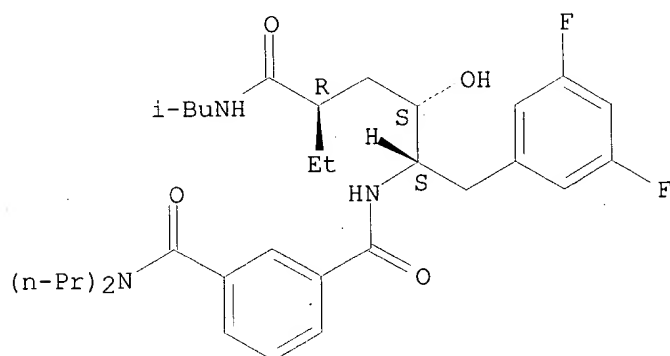
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-  
difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



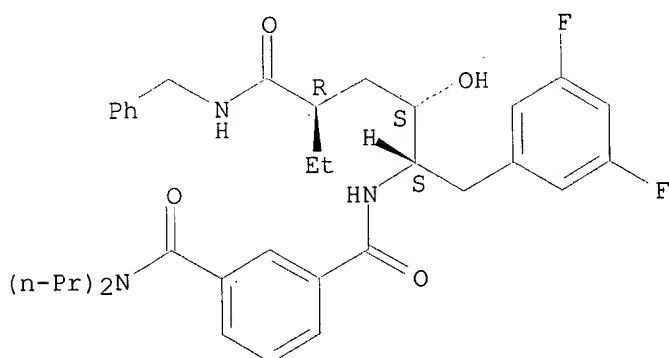
RN 362480-16-8 CAPLUS  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[ (2-methylpropyl) amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-17-9 CAPLUS  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[ (phenylmethyl) amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

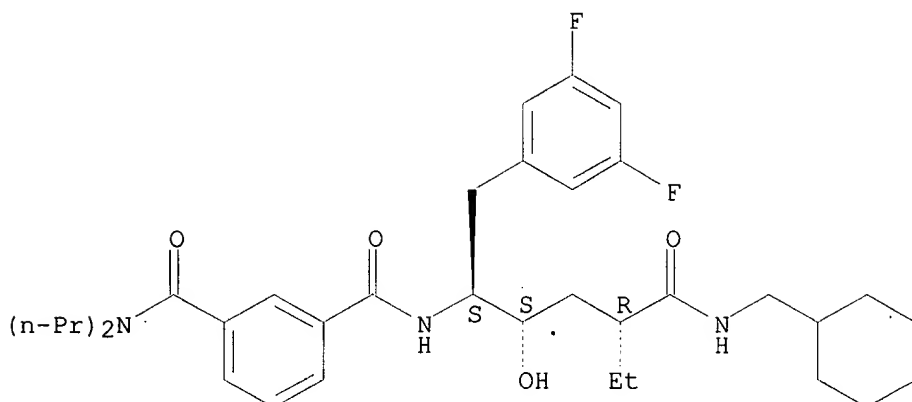
Absolute stereochemistry.



RN 362480-18-0 CAPLUS  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[ (cyclohexylmethyl) amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

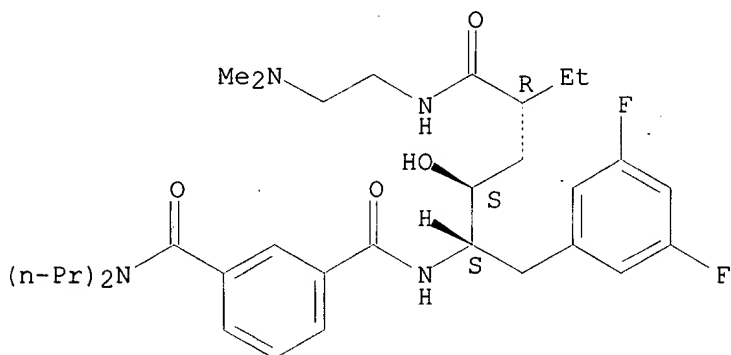
Absolute stereochemistry.



RN 362480-20-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

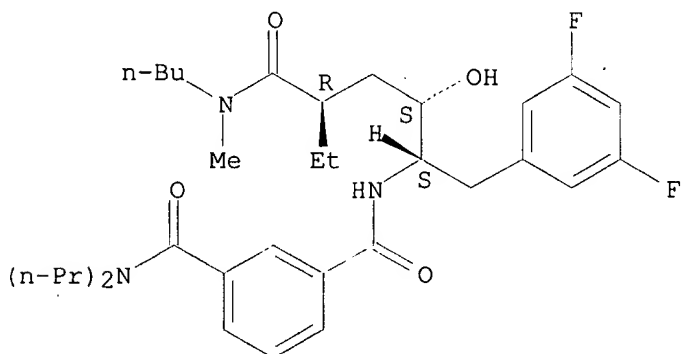
Absolute stereochemistry.



RN 362480-21-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

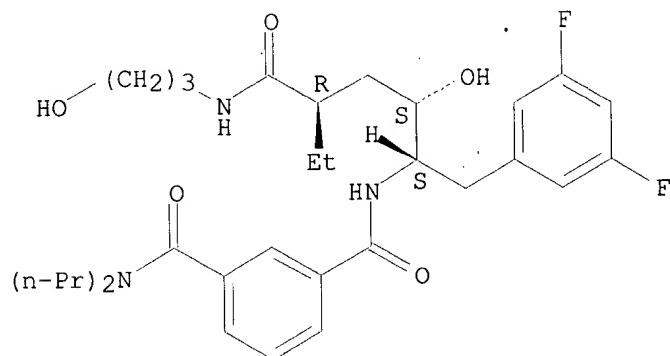
Absolute stereochemistry.



RN 362480-22-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

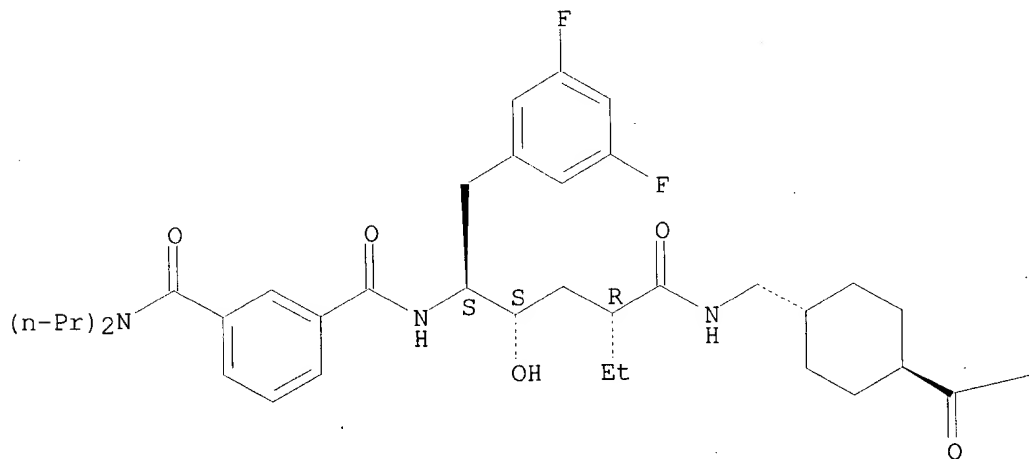


RN 362480-23-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



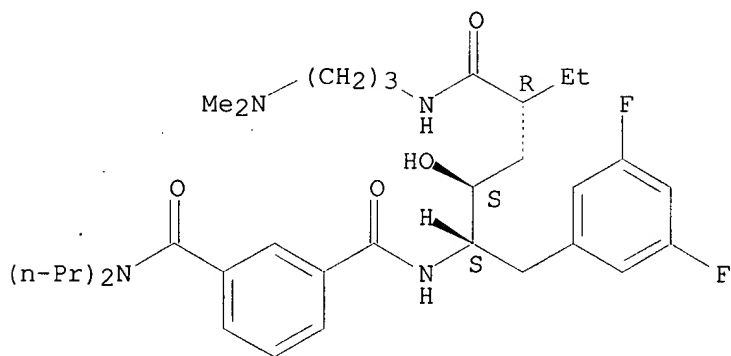
PAGE 1-B

—OMe

RN 362480-24-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-(9CI) (CA INDEX NAME)

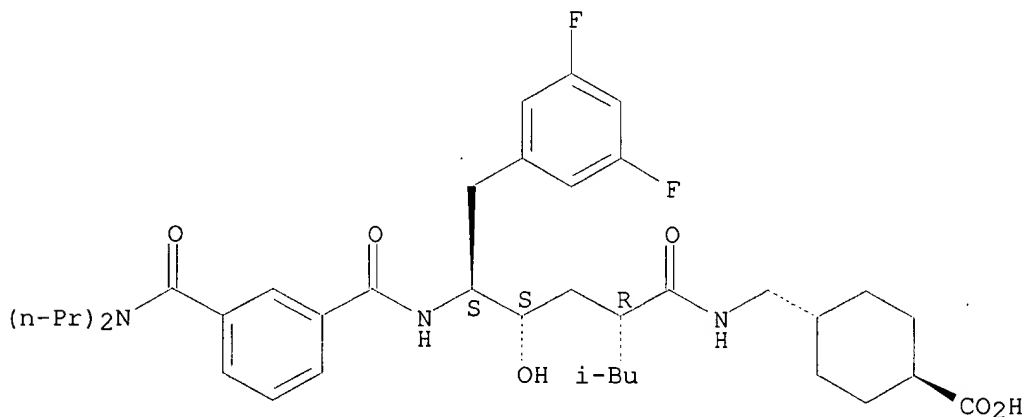
Absolute stereochemistry.



RN 362480-25-9 CAPLUS

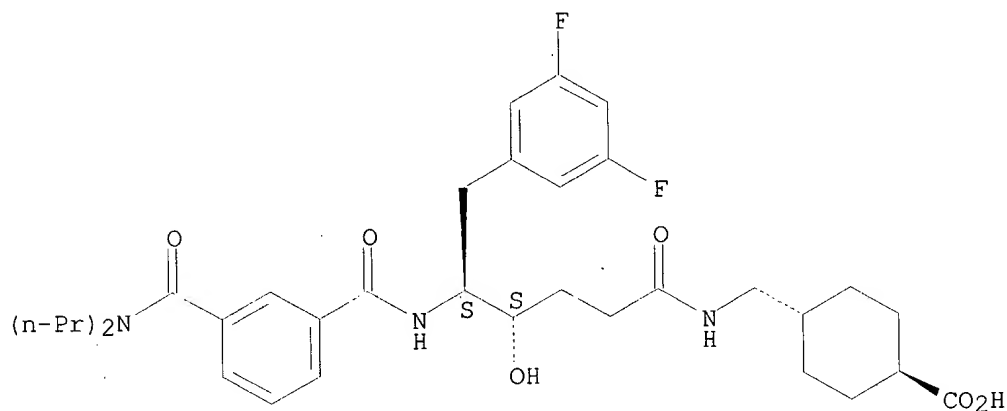
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[[[3-(dimethylamino)propyl]amino]carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



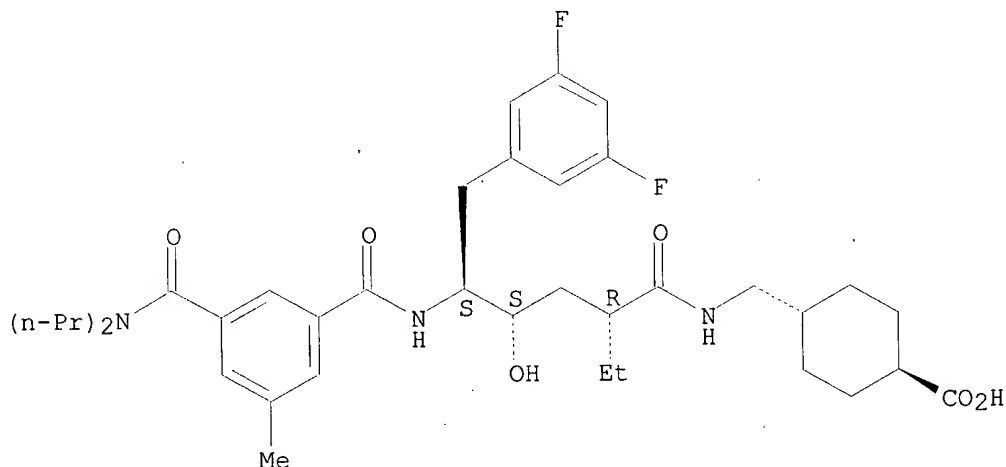
RN 362480-26-0 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-  
, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-27-1 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

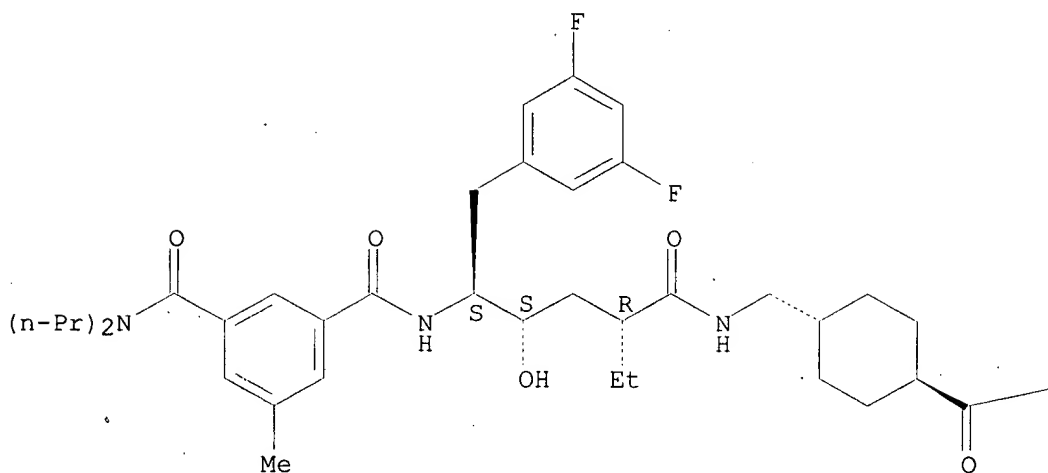
Absolute stereochemistry.



RN 362480-28-2 CAPLUS  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



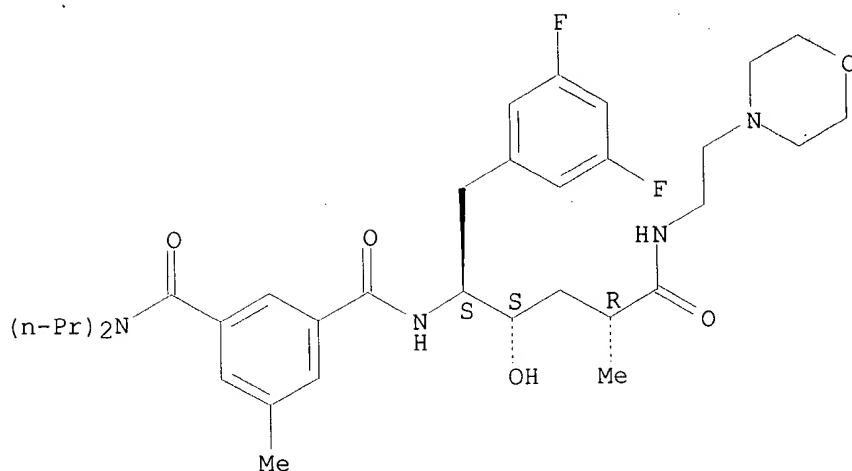
PAGE 1-B

—OMe

RN 362480-29-3 CAPLUS

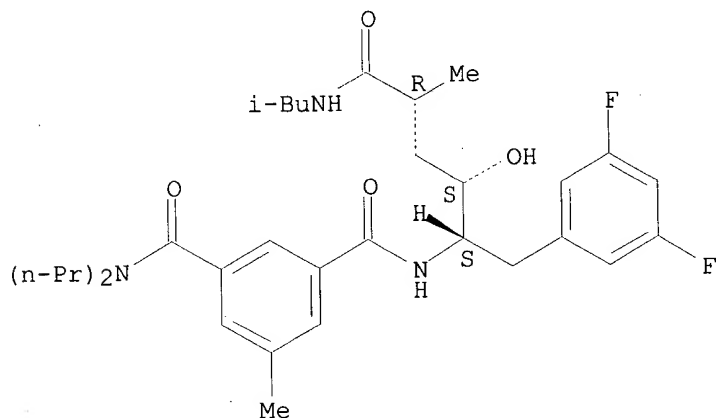
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-30-6 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

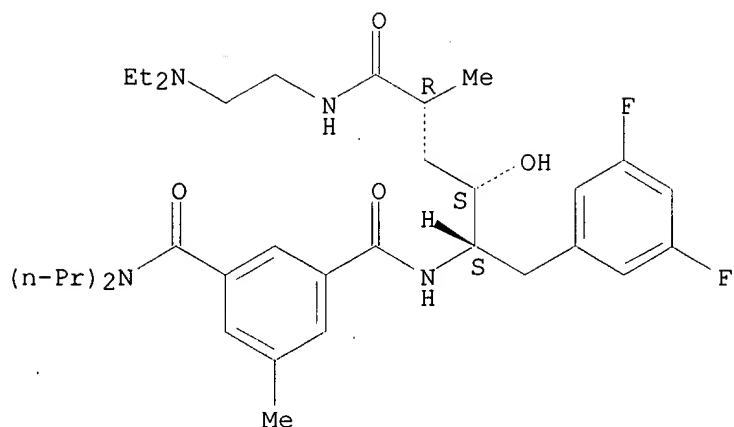
Absolute stereochemistry.



RN 362480-31-7 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

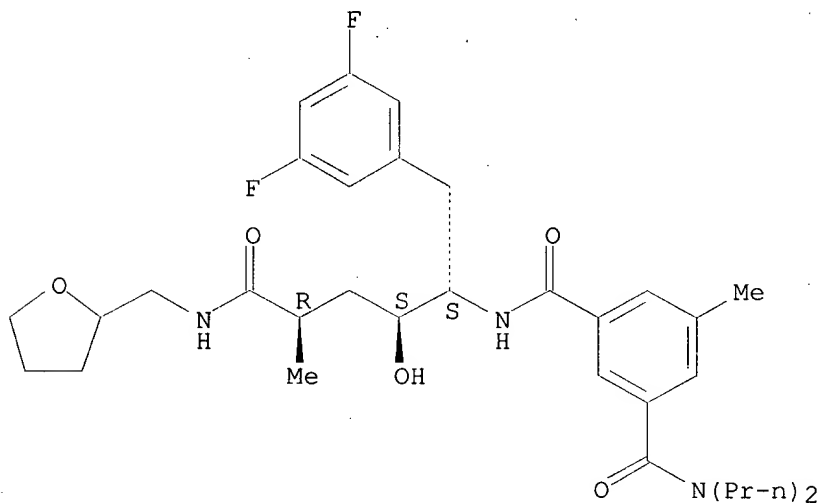




RN 362480-32-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

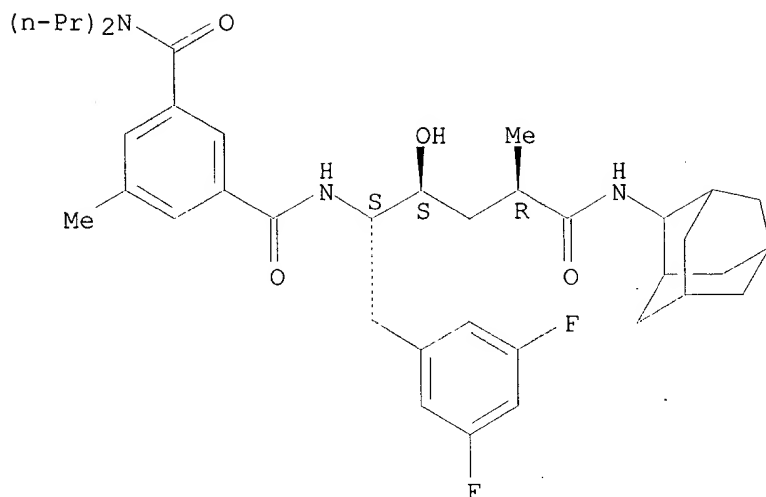
Absolute stereochemistry.



RN 362480-33-9 CAPLUS

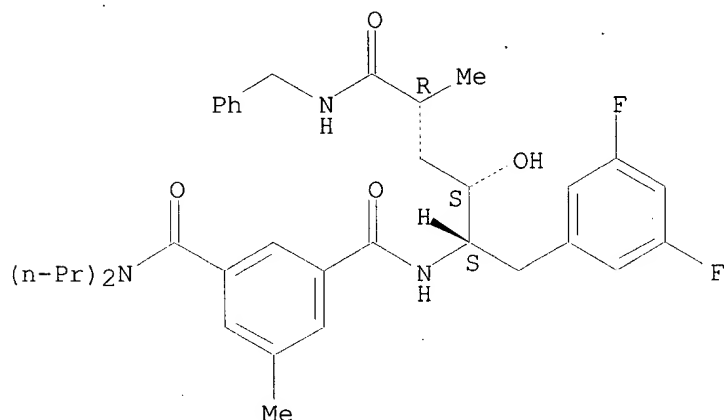
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.1.3,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



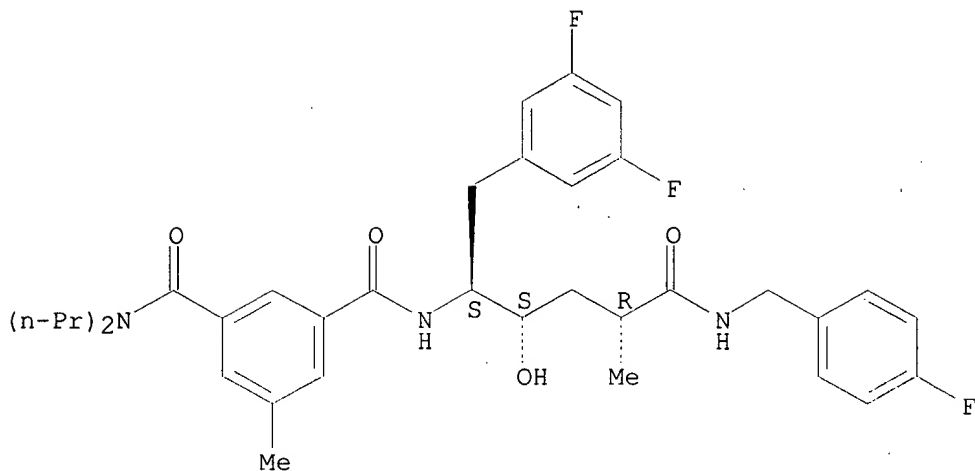
RN 362480-35-1 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-36-2 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

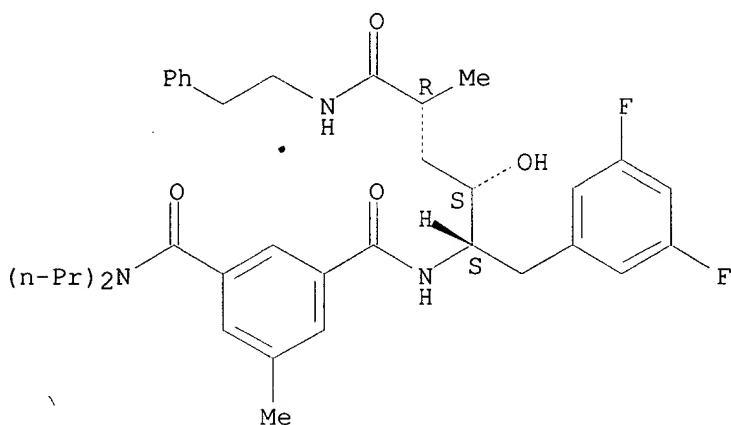
Absolute stereochemistry.



RN 362480-37-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

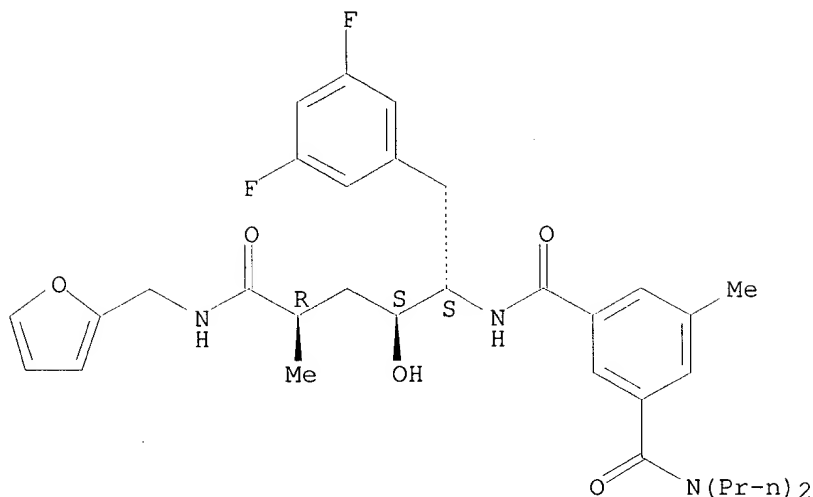
Absolute stereochemistry.



RN 362480-38-4 CAPLUS

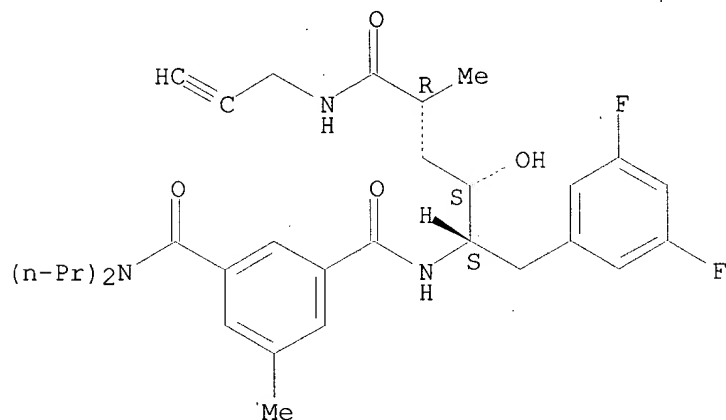
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-39-5 CAPLUS  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 4 OF 5 USPATFULL on STN  
 ACCESSION NUMBER: 2002:37903 USPATFULL  
 TITLE: Compounds to treat alzheimer's disease  
 INVENTOR(S): Hom, Roy, San Francisco, CA, UNITED STATES  
 Mamo, Shumeye, Oakland, CA, UNITED STATES  
 Tung, Jay, Belmont, CA, UNITED STATES  
 Gailunas, Andrea, San Francisco, CA, UNITED STATES  
 John, Varghese, San Francisco, CA, UNITED STATES  
 Fang, Lawrence Y., Foster City, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002022623	A1	20020221
APPLICATION INFO.:	US 2001-815960	A1	20010323 (9)

NUMBER	DATE

PRIORITY INFORMATION: US 2000-191528P 20000323 (60)  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN,  
55402-0903  
NUMBER OF CLAIMS: 141  
EXEMPLARY CLAIM: 1  
LINE COUNT: 7182

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene  
compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

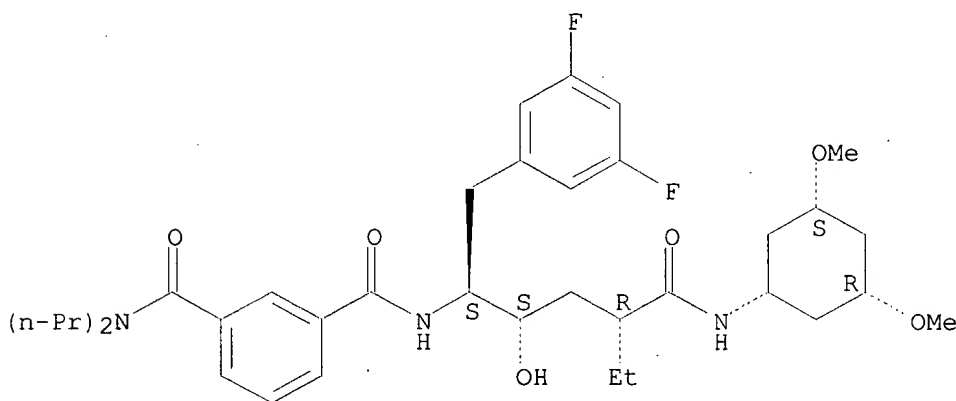
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362480-32-8P 362480-33-9P 362480-35-1P  
362480-36-2P 362480-37-3P 362480-38-4P  
362480-39-5P

(prepn. of hydroxyethylenes with peptide subunits for pharmaceutical  
use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-  
[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-  
2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

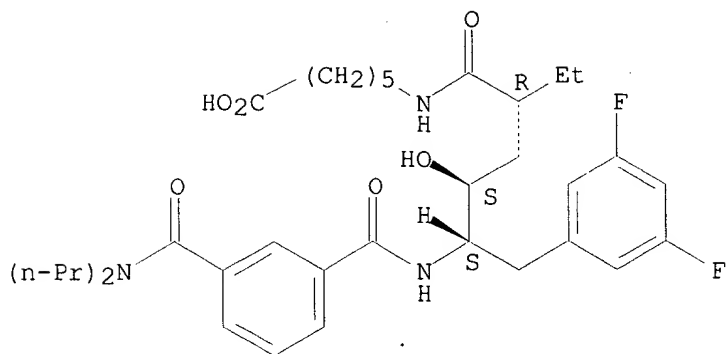
Absolute stereochemistry.



RN 362479-95-6 USPATFULL

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]- (9CI) (CA INDEX NAME)

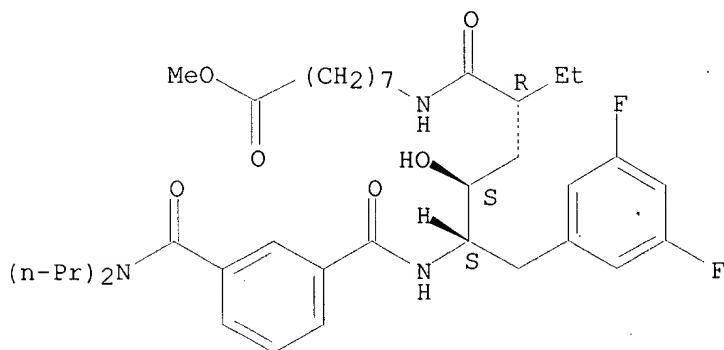
Absolute stereochemistry.



RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
 [(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
 oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

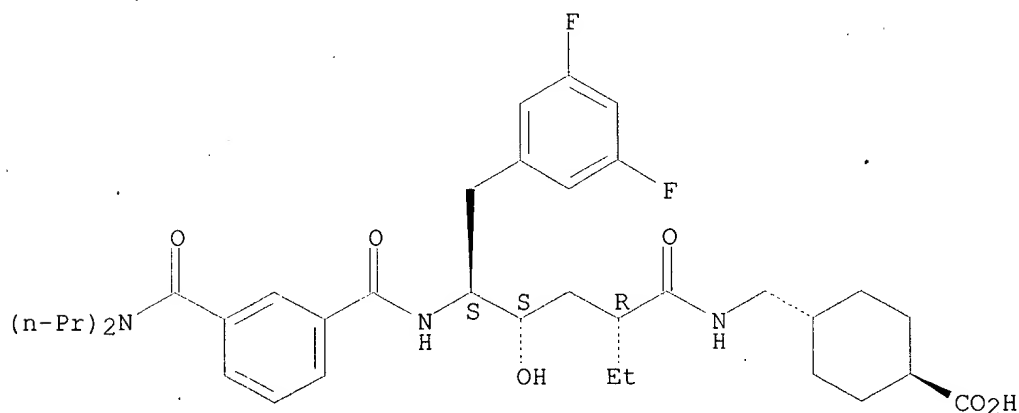
Absolute stereochemistry.



RN 362479-97-8 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
 [(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
 oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

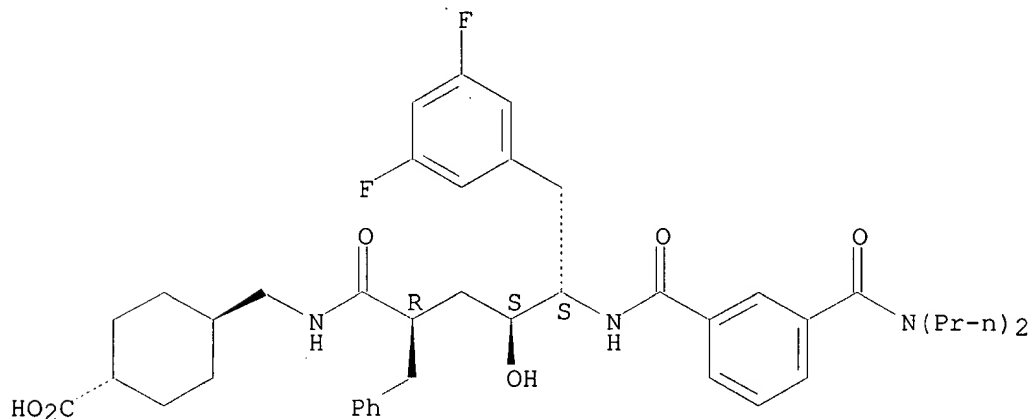
Absolute stereochemistry.



RN 362479-98-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

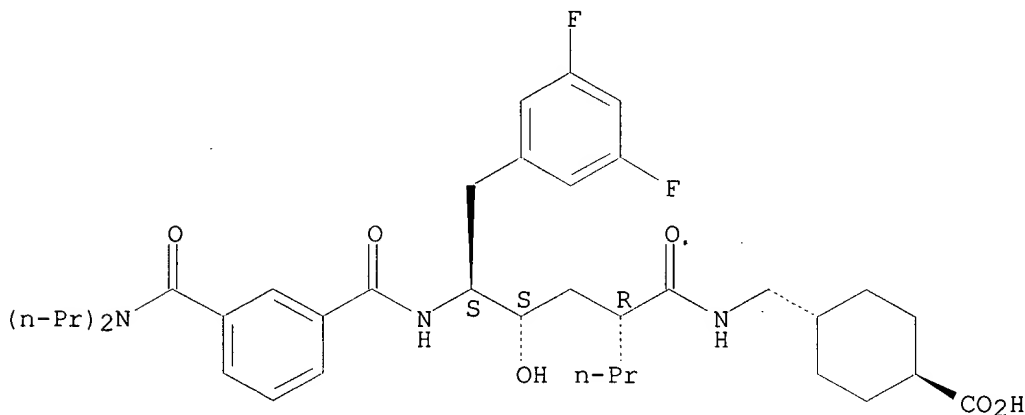
Absolute stereochemistry.



RN 362479-99-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

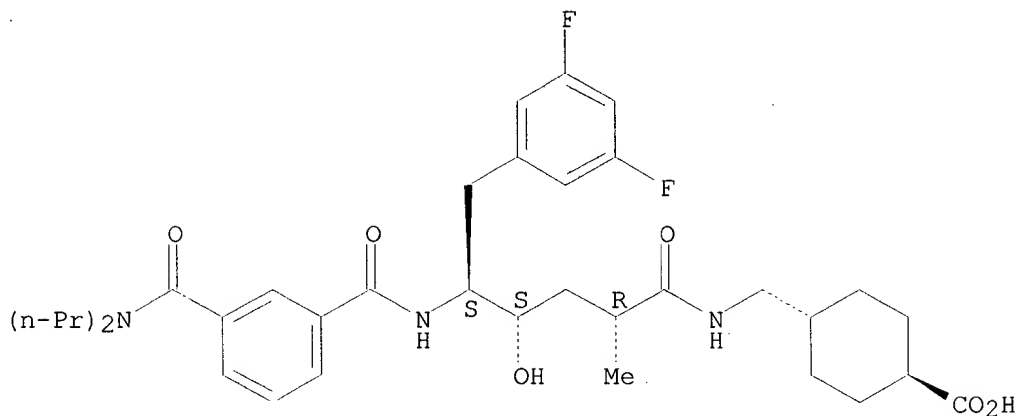
Absolute stereochemistry.



RN 362480-00-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

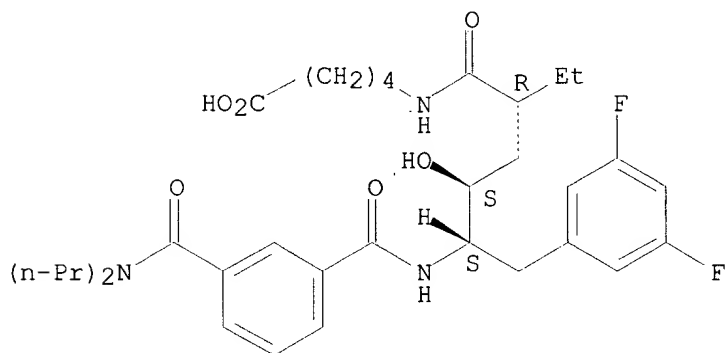
Absolute stereochemistry.



RN 362480-11-3 USPATFULL

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

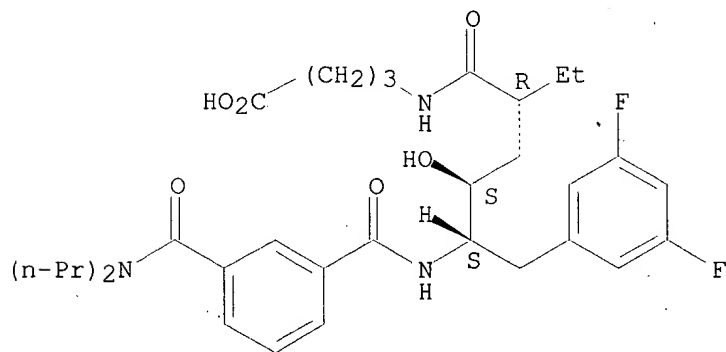
Absolute stereochemistry.



RN 362480-12-4 USPATFULL

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

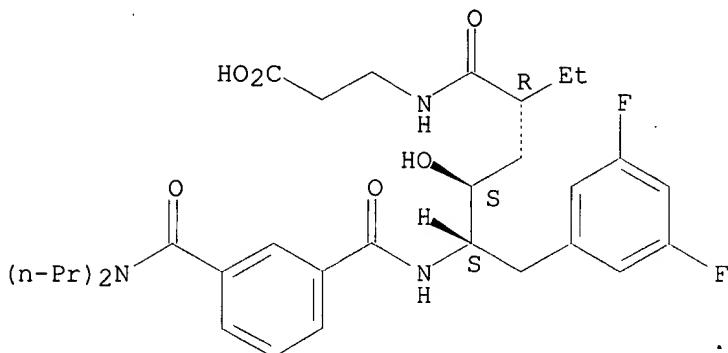


RN 362480-13-5 USPATFULL



CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-  
(9CI) (CA INDEX NAME)

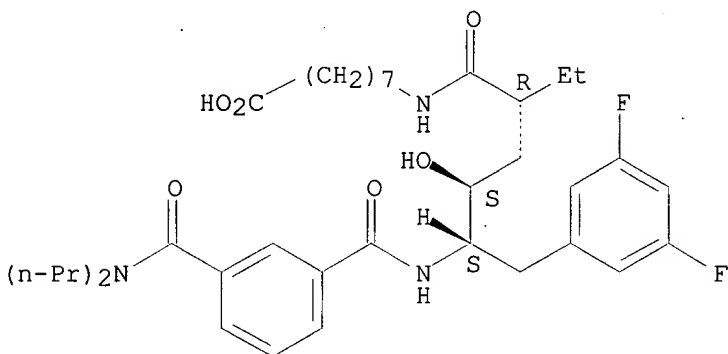
Absolute stereochemistry.



RN 362480-14-6 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]- (9CI) (CA INDEX NAME)

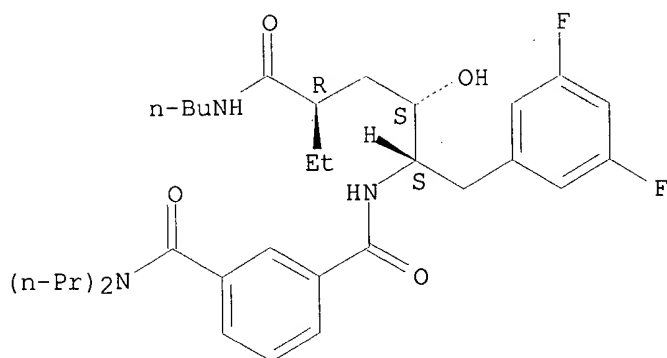
Absolute stereochemistry.



RN 362480-15-7 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-  
difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX  
NAME)

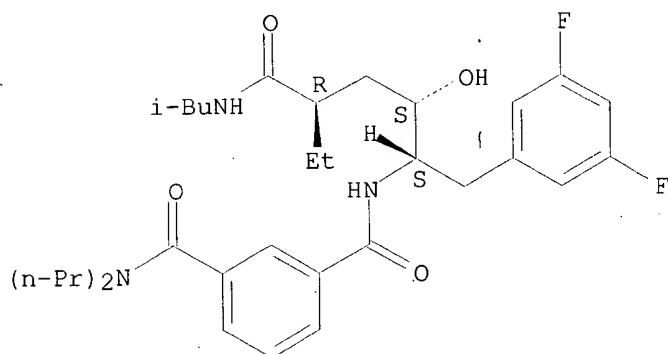
Absolute stereochemistry.



RN 362480-16-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[2-methylpropyl]amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

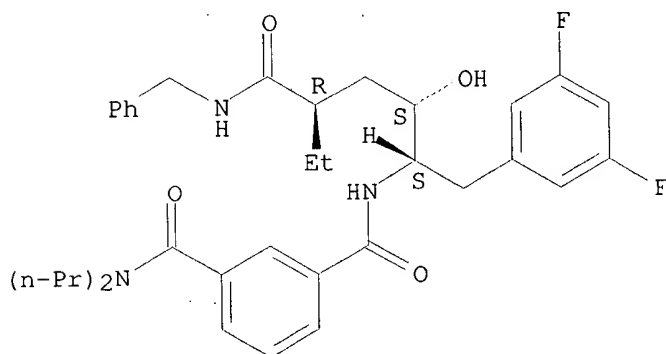
Absolute stereochemistry.



RN 362480-17-9 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[phenylmethyl]amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

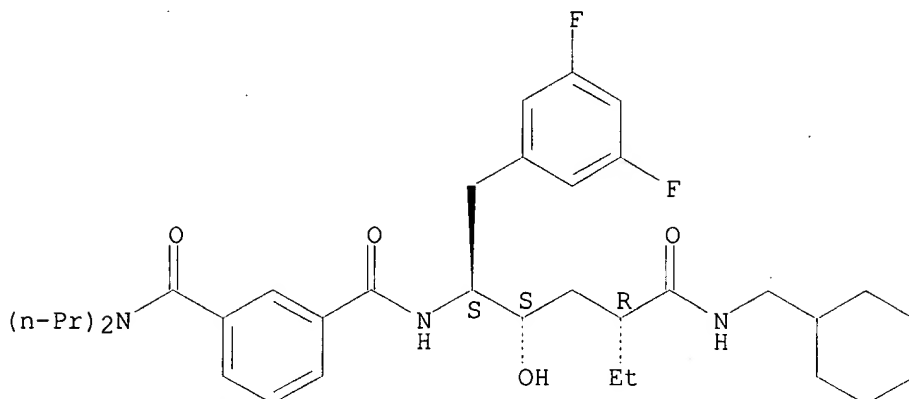


RN 362480-18-0 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[cyclohexylmethyl]amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

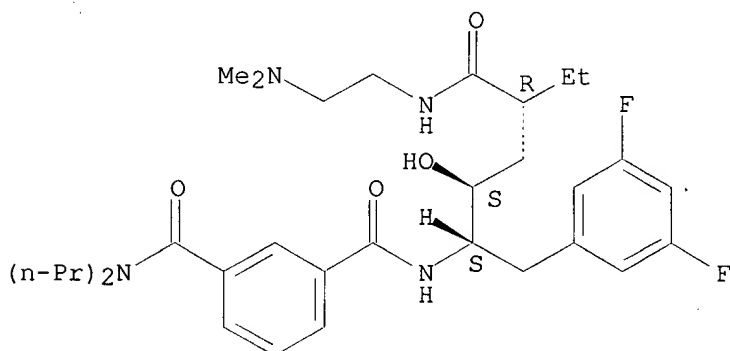
Absolute stereochemistry.



RN 362480-20-4 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

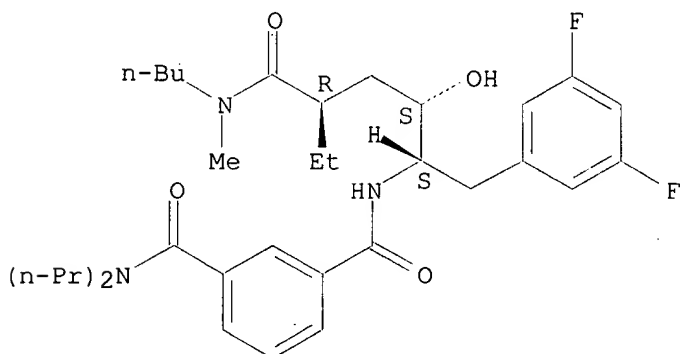
Absolute stereochemistry.



RN 362480-21-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

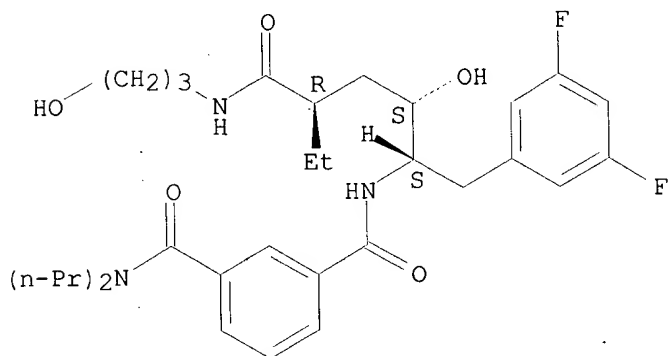
Absolute stereochemistry.



RN 362480-22-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

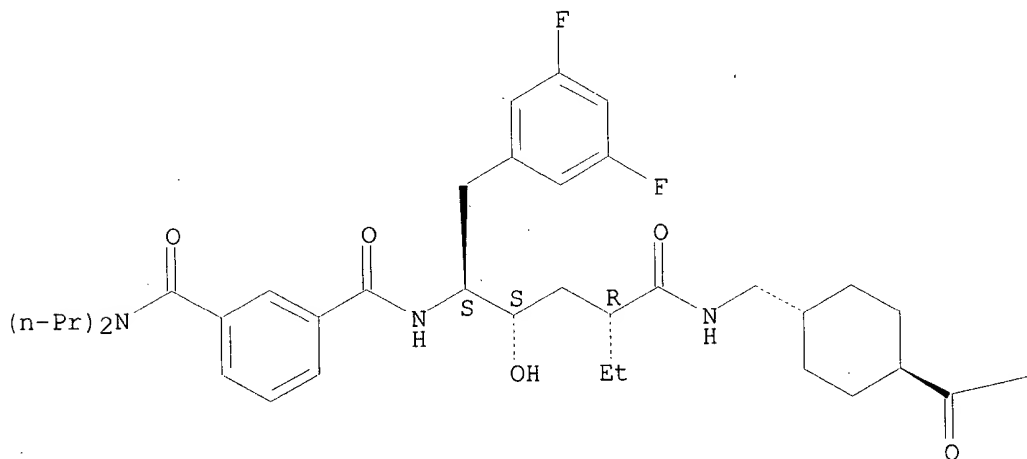


RN 362480-23-7 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



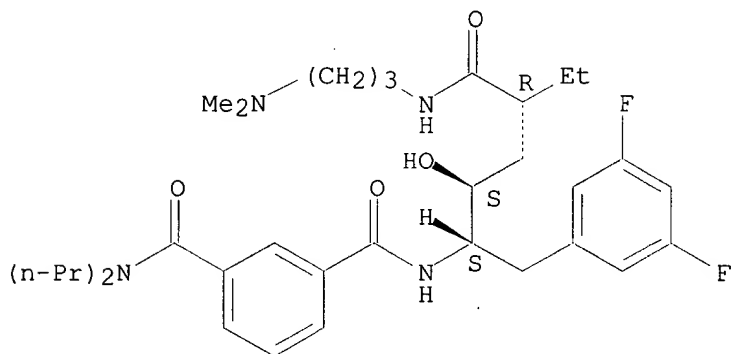
PAGE 1-B

—OMe

RN 362480-24-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-  
[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-  
(9CI) (CA INDEX NAME)

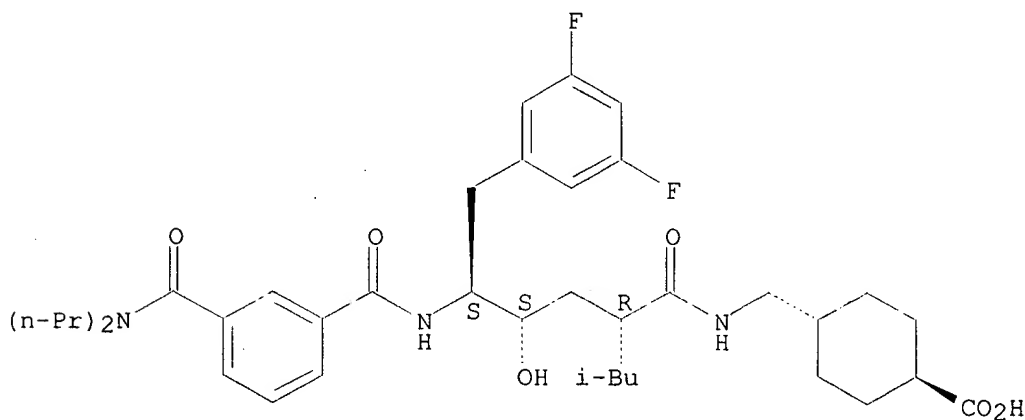
Absolute stereochemistry.



RN 362480-25-9 USPATFULL

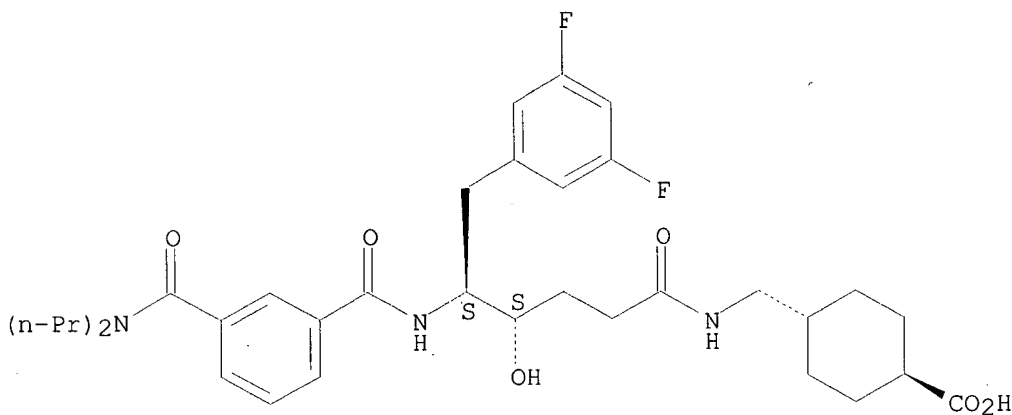
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



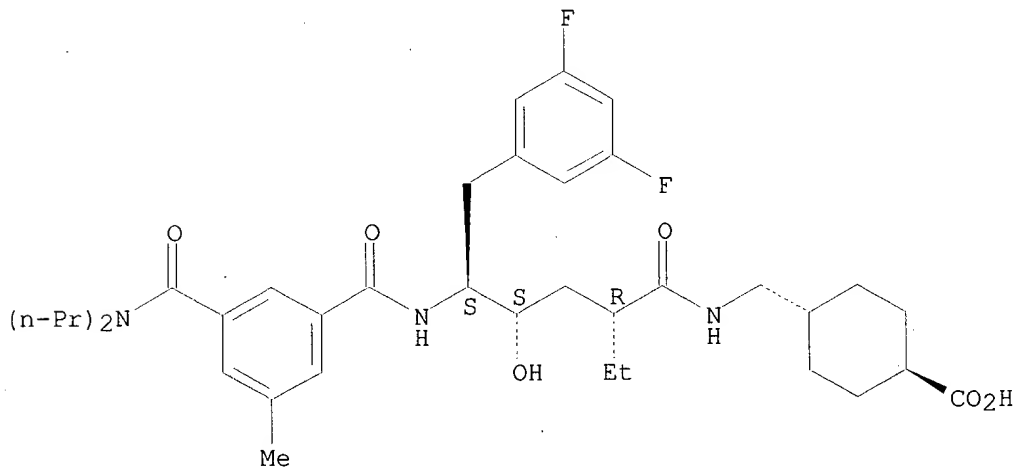
RN 362480-26-0 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-27-1 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

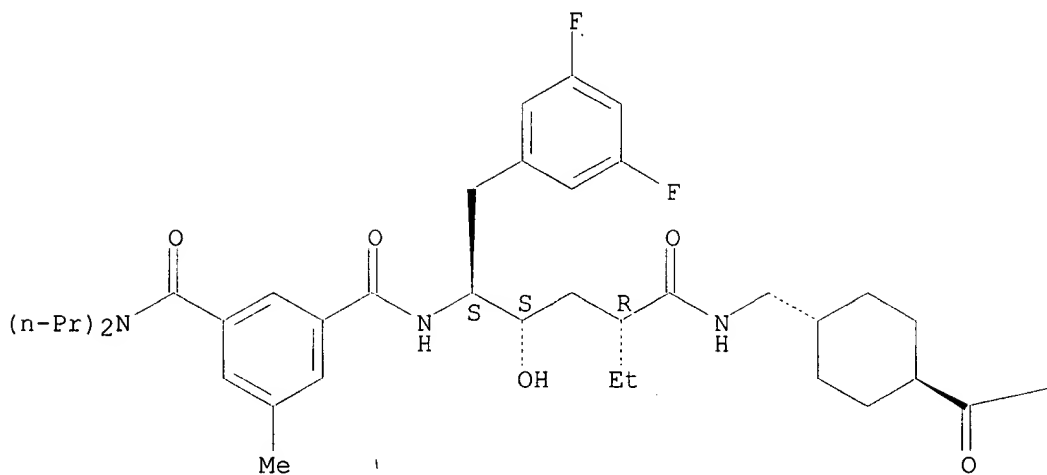
Absolute stereochemistry.



RN 362480-28-2 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



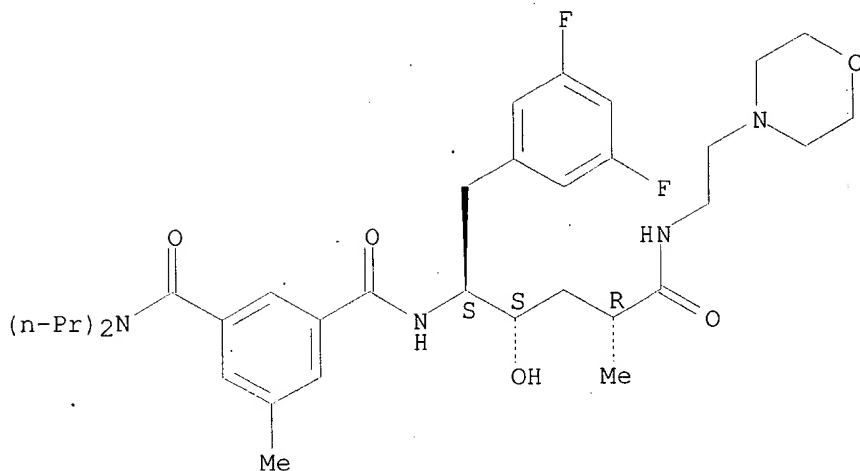
PAGE 1-B

— OMe

RN 362480-29-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

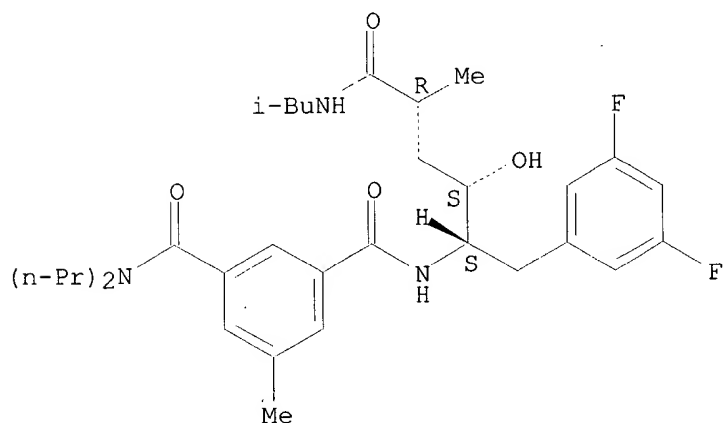
Absolute stereochemistry.



RN 362480-30-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

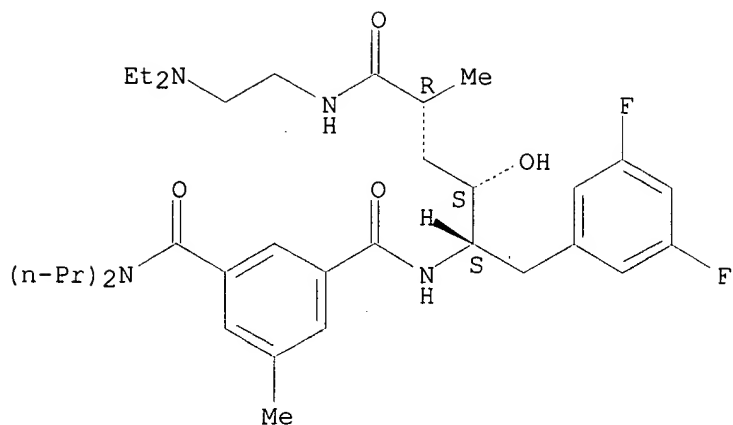


RN 362480-31-7 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

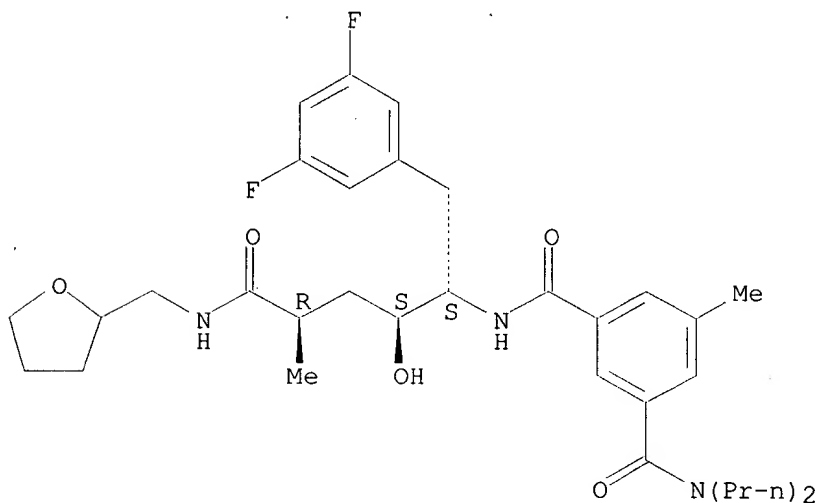




RN 362480-32-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

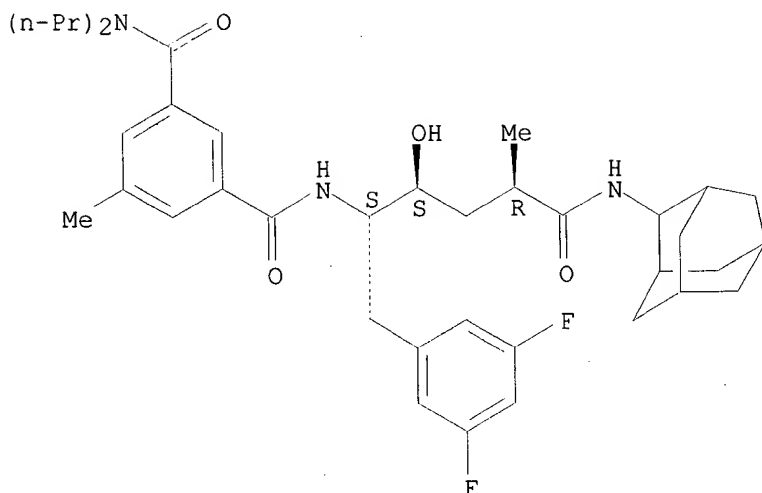
Absolute stereochemistry.



RN 362480-33-9 USPATFULL

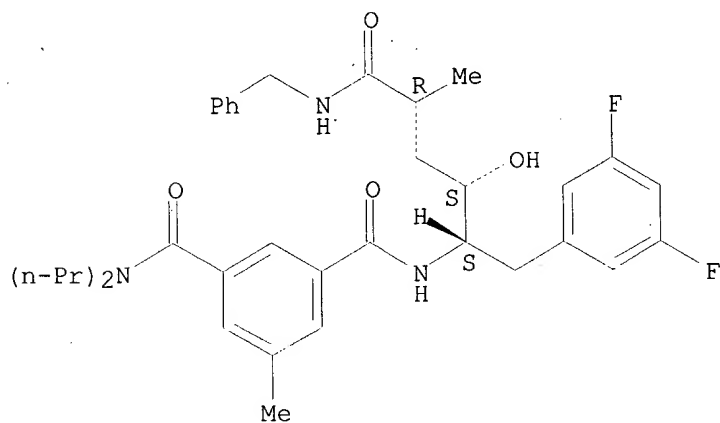
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



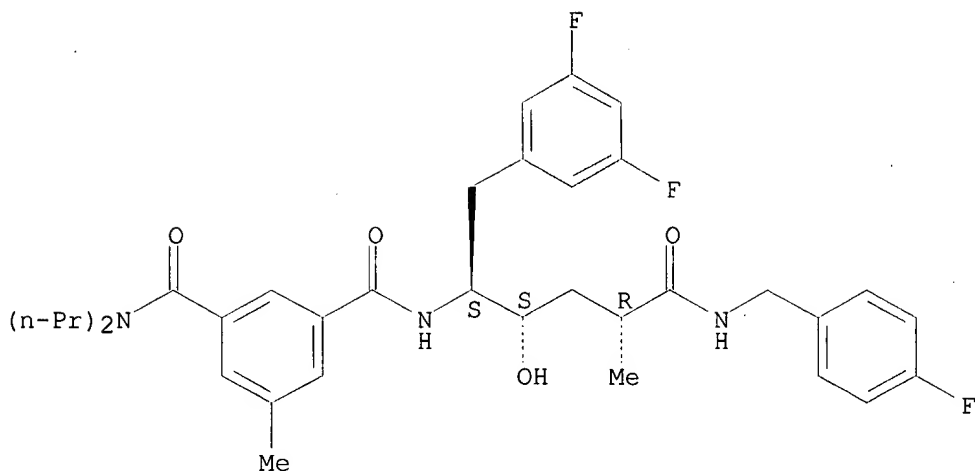
RN 362480-35-1 USPATFULL  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-36-2 USPATFULL  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

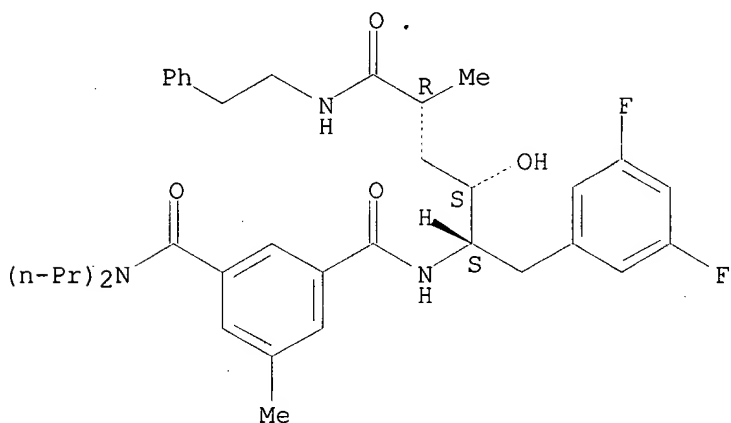
Absolute stereochemistry.



RN 362480-37-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

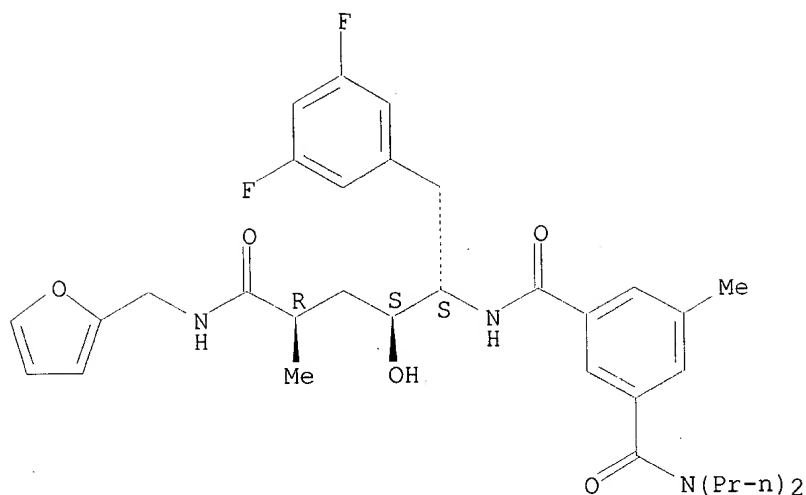
Absolute stereochemistry.



RN 362480-38-4 USPATFULL

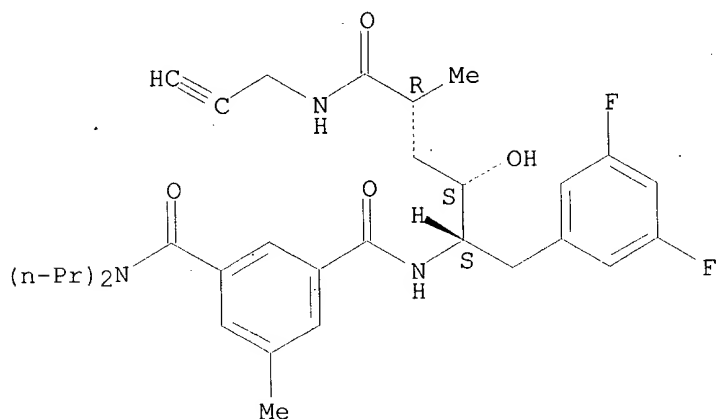
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-39-5 USPATFULL  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 5 OF 5. USPATFULL on STN  
 ACCESSION NUMBER: 2002:32581 USPATFULL  
 TITLE: Methods to treat alzheimer's disease  
 INVENTOR(S): Hom, Roy, San Francisco, CA, UNITED STATES  
 Mamo, Shumeye S., Oakland, CA, UNITED STATES  
 Tung, Jay, Belmont, CA, UNITED STATES  
 Gailunas, Andrea, San Francisco, CA, UNITED STATES  
 John, Varghese, San Francisco, CA, UNITED STATES  
 Fang, Lawrence Y., Foster City, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002019403	A1	20020214
APPLICATION INFO.:	US 2001-816876	A1	20010323 (9)

NUMBER	DATE

Searched by Barb O'Bryen, STIC 308-4291

PRIORITY INFORMATION: US 2000-191528P 20000323 (60)  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN,  
55402-0903  
NUMBER OF CLAIMS: 63  
EXEMPLARY CLAIM: 1  
LINE COUNT: 8655

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene  
compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

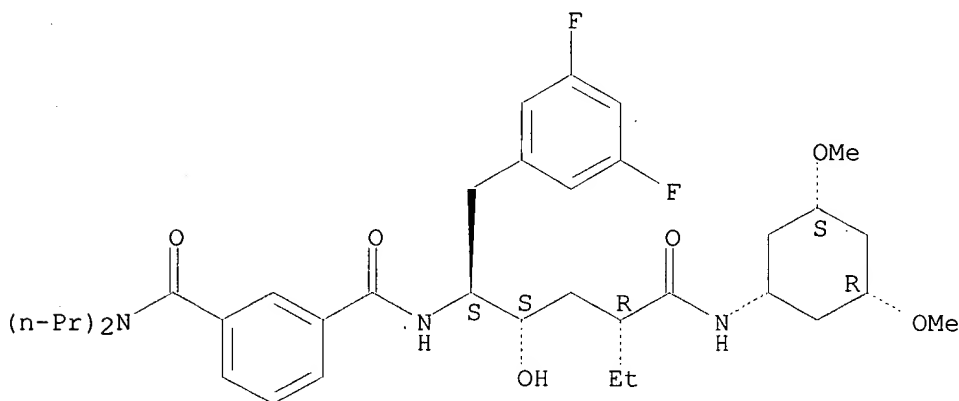
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362480-32-8P 362480-33-9P 362480-35-1P  
362480-36-2P 362480-37-3P 362480-38-4P  
362480-39-5P

(prepn. of hydroxyethylenes with peptide subunits for pharmaceutical  
use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-  
[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-  
2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

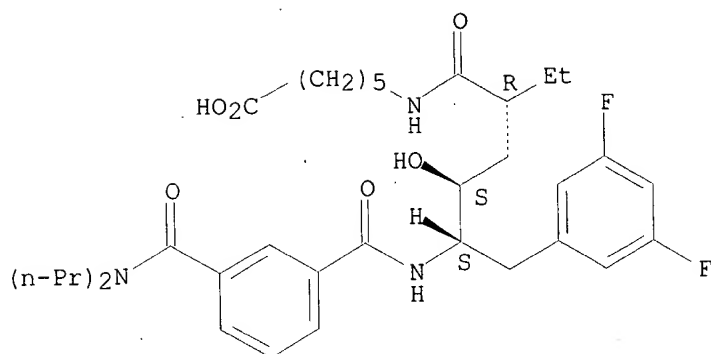
Absolute stereochemistry.



RN 362479-95-6 USPATFULL

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]- (9CI) (CA INDEX NAME)

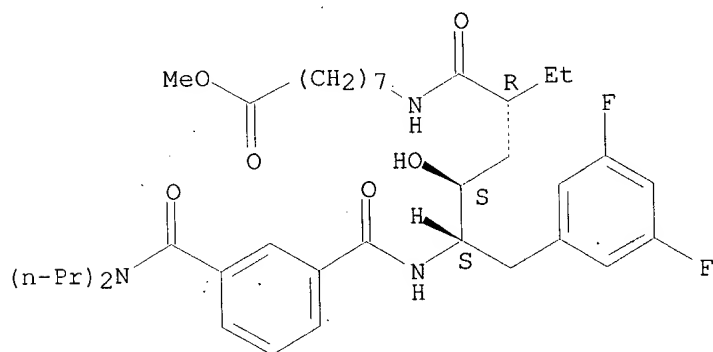
Absolute stereochemistry.



RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

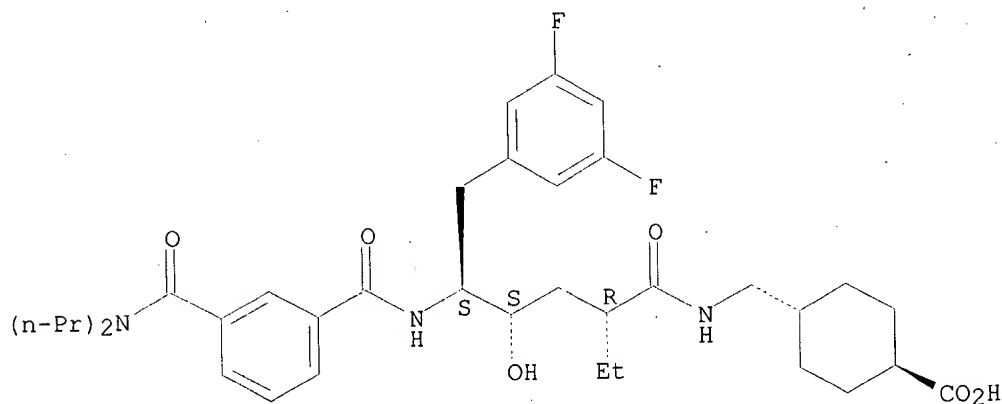
Absolute stereochemistry.



RN 362479-97-8 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

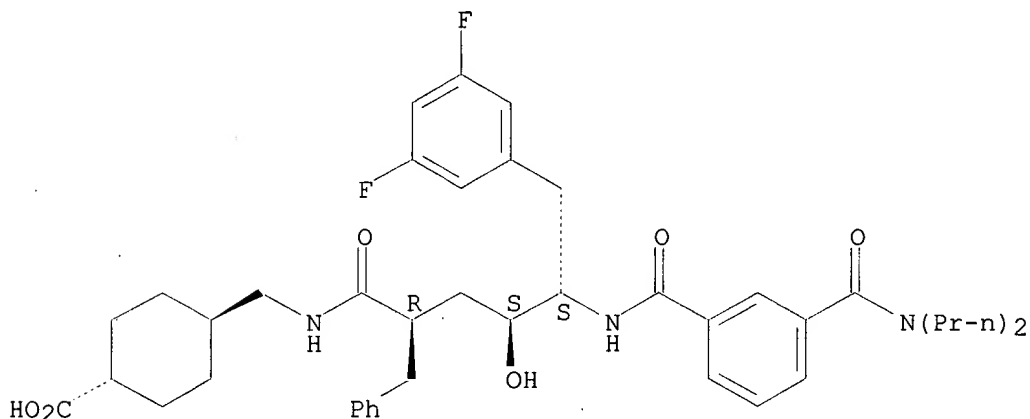
Absolute stereochemistry.



RN 362479-98-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

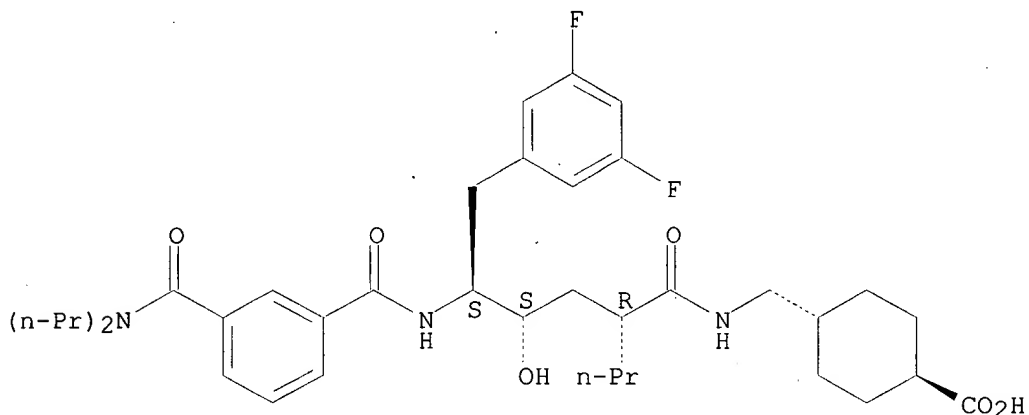
Absolute stereochemistry.



RN 362479-99-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

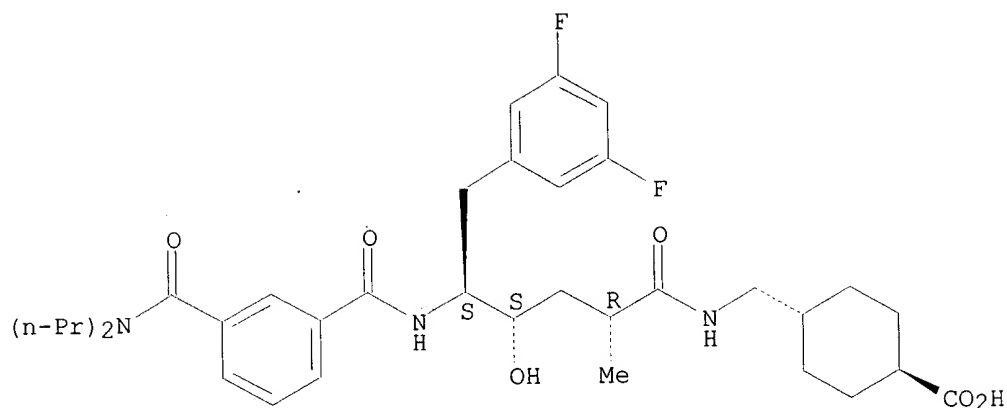
Absolute stereochemistry.



RN 362480-00-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

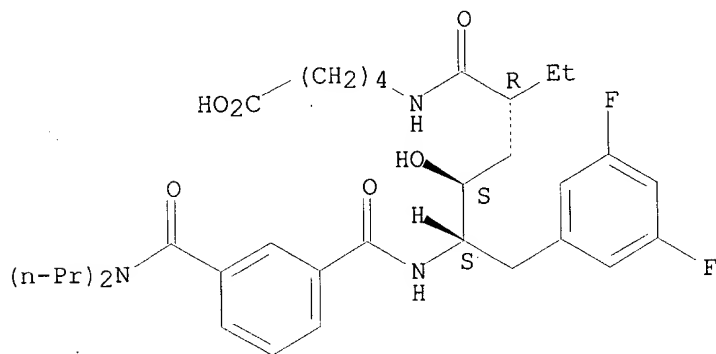
Absolute stereochemistry.



RN 362480-11-3 USPATFULL

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

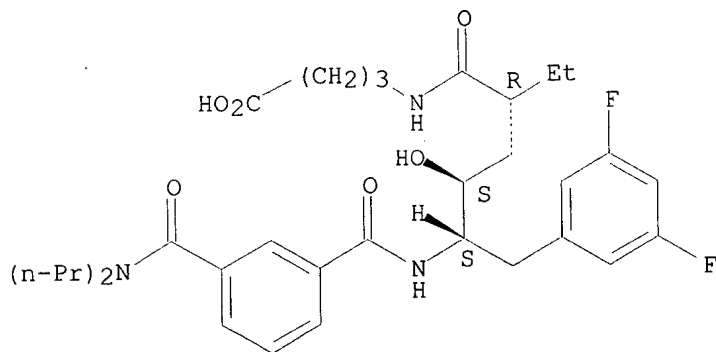
Absolute stereochemistry.



RN 362480-12-4 USPATFULL

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

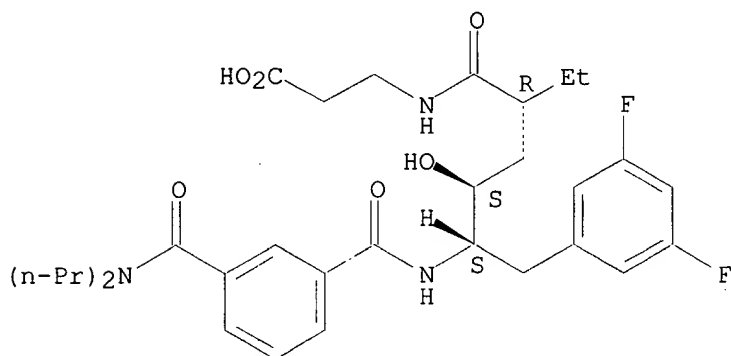


RN 362480-13-5 USPATFULL



CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-  
(9CI) (CA INDEX NAME)

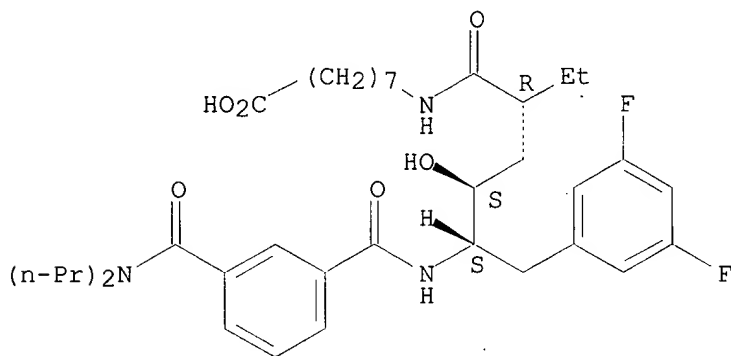
Absolute stereochemistry.



RN 362480-14-6 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]- (9CI) (CA INDEX NAME)

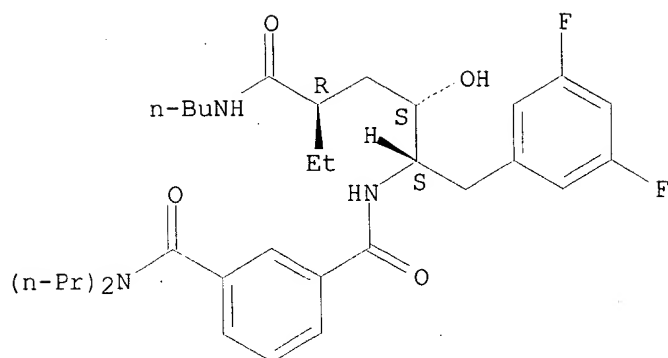
Absolute stereochemistry.



RN 362480-15-7 USPATFULL

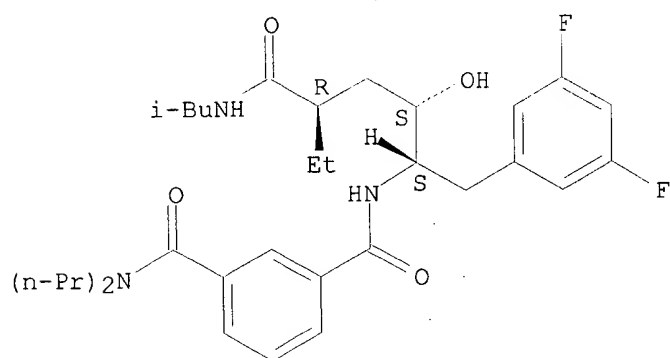
CN 1,3-Benzenedicarboxamide, N'--[(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-  
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NAME)

Absolute stereochemistry.



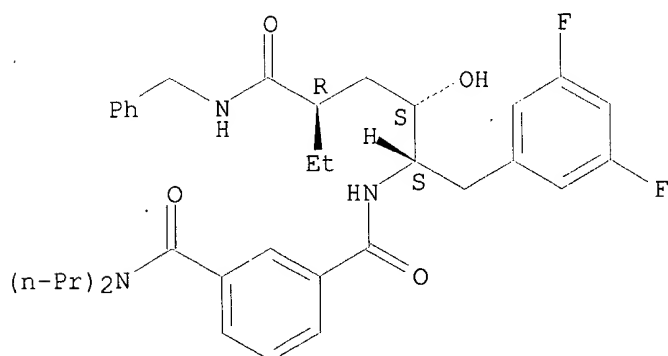
RN 362480-16-8 USPATFULL  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[ (2-methylpropyl) amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 362480-17-9 USPATFULL  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[ (phenylmethyl) amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

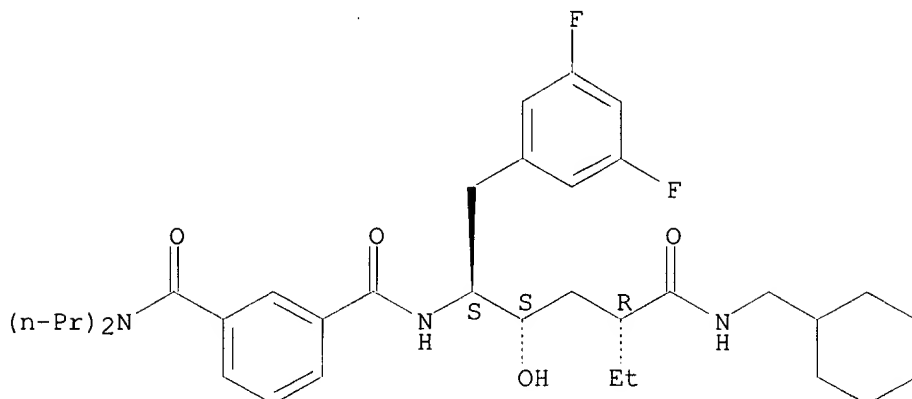
Absolute stereochemistry.



RN 362480-18-0 USPATFULL  
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[ (cyclohexylmethyl) amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

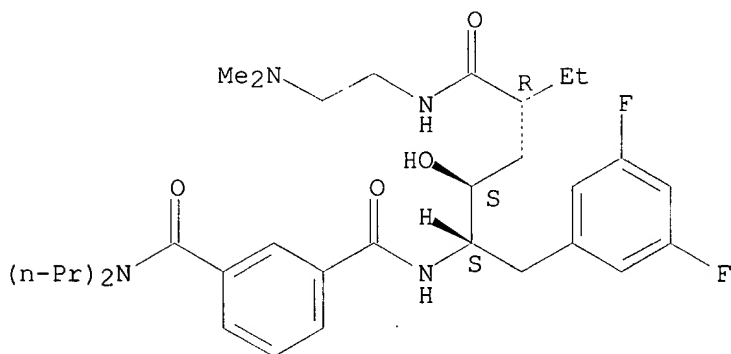
Absolute stereochemistry.



RN 362480-20-4 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

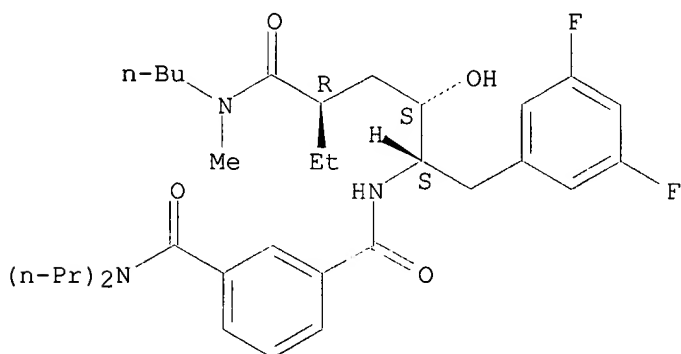
Absolute stereochemistry.



RN 362480-21-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

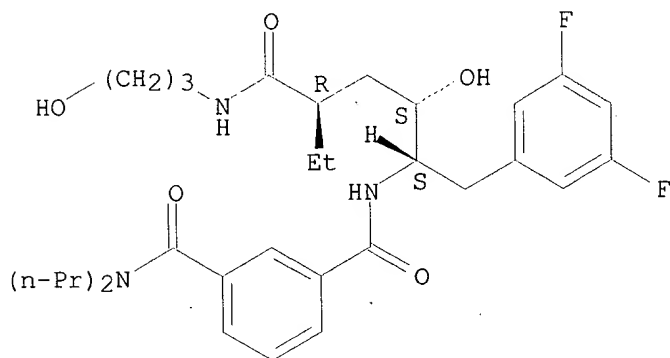
Absolute stereochemistry.



RN 362480-22-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

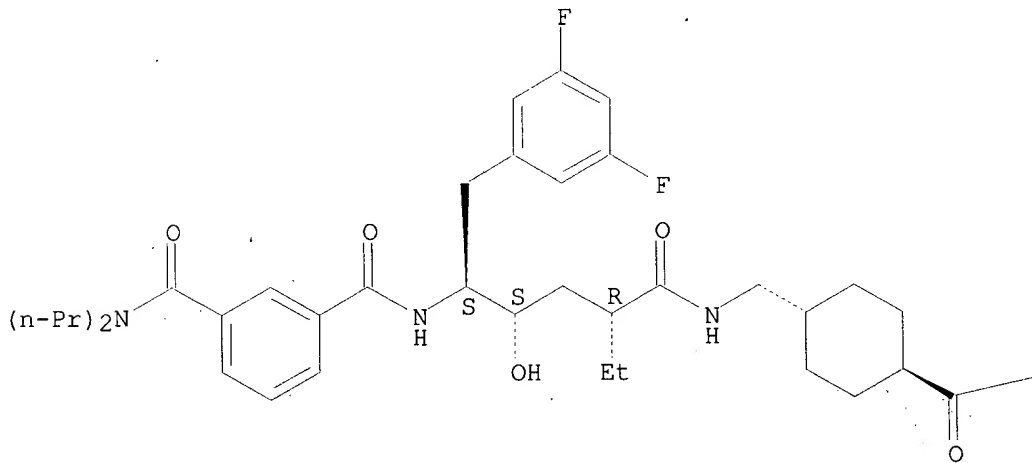


RN 362480-23-7 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



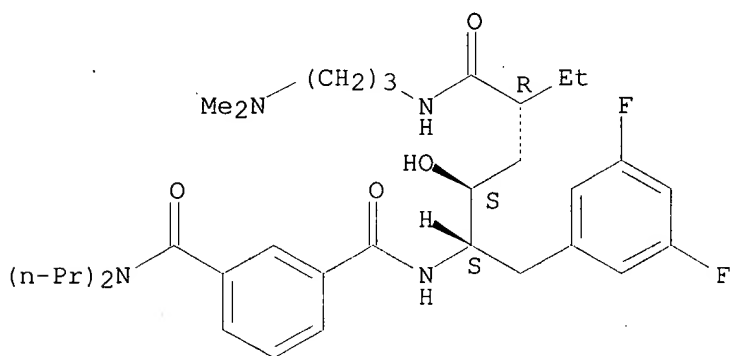
PAGE 1-B

—OMe

RN 362480-24-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-  
[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-  
(9CI) (CA INDEX NAME)

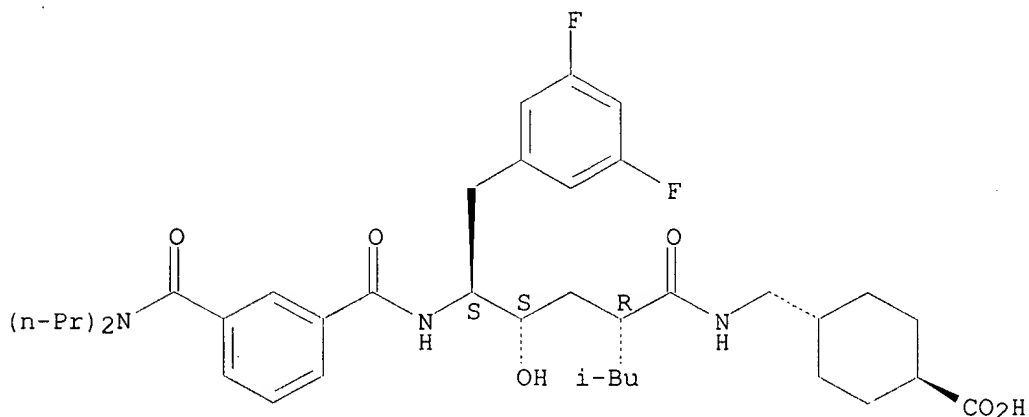
Absolute stereochemistry.



RN 362480-25-9 USPATFULL

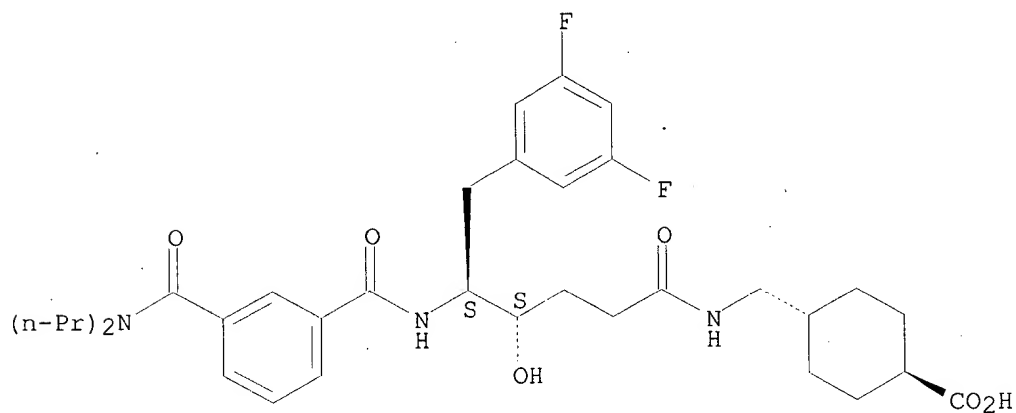
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
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oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



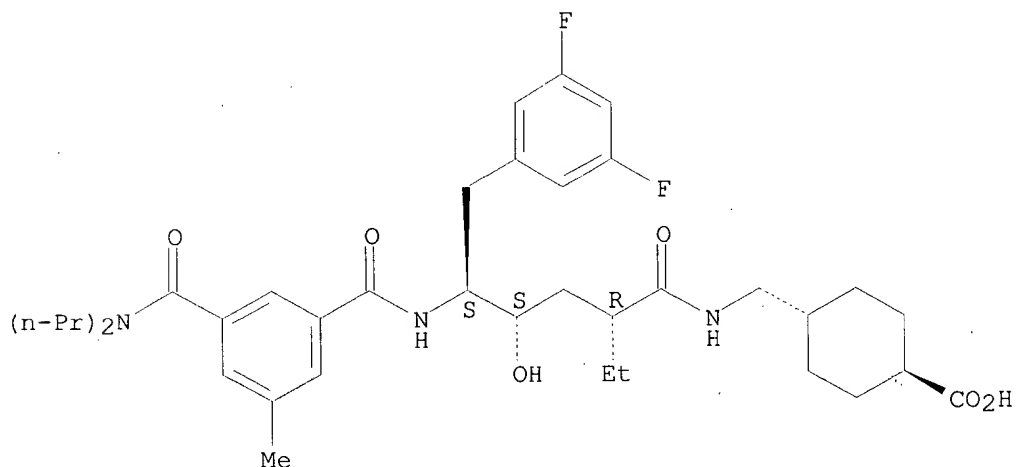
RN 362480-26-0 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-27-1 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

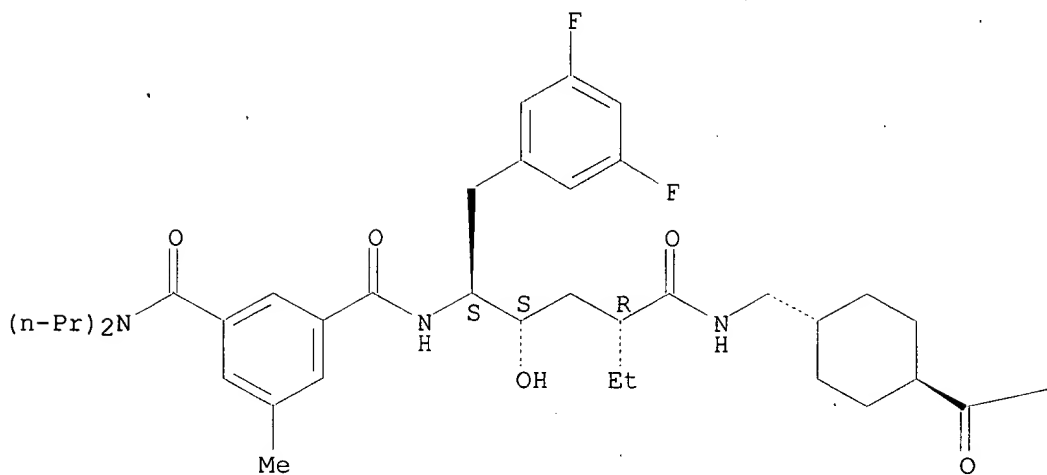
Absolute stereochemistry.



RN 362480-28-2 USPATFULL  
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-  
oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



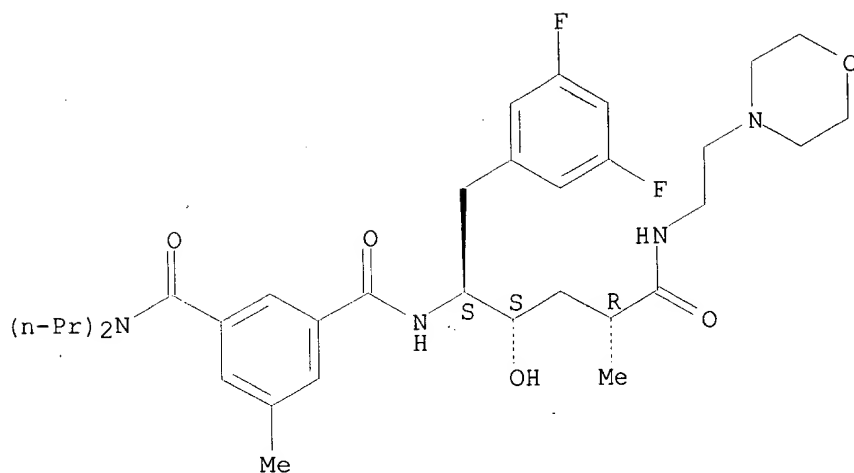
PAGE 1-B

—OMe

RN 362480-29-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

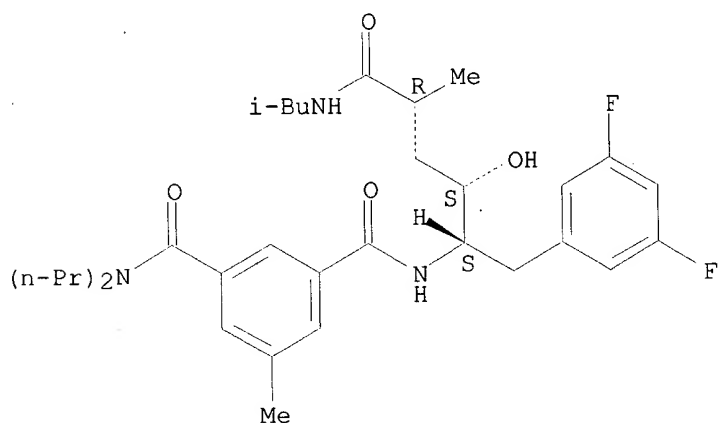
Absolute stereochemistry.



RN 362480-30-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

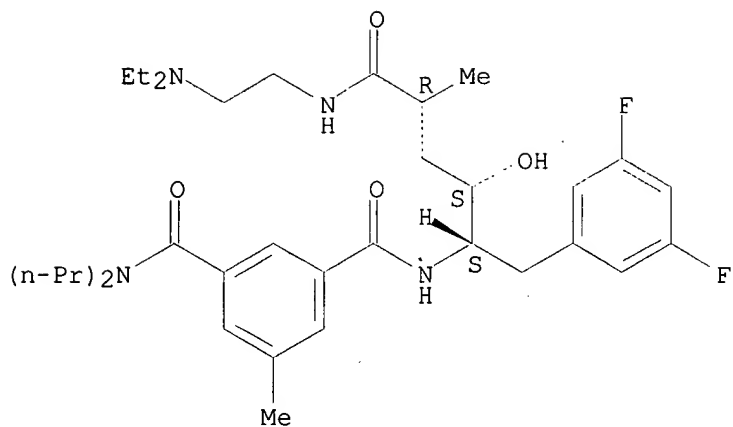


RN 362480-31-7 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

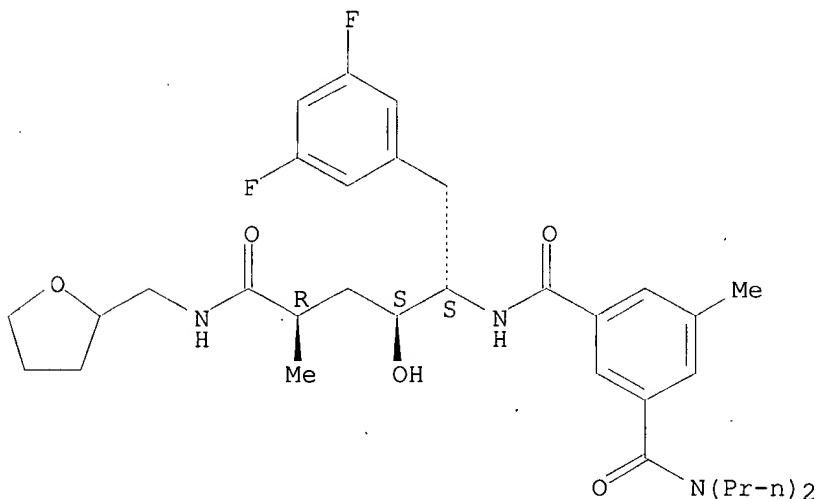




RN 362480-32-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

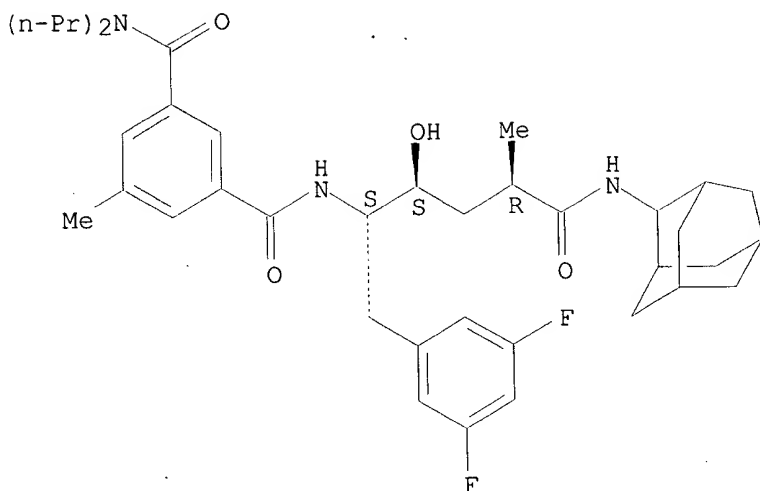
Absolute stereochemistry.



RN 362480-33-9 USPATFULL

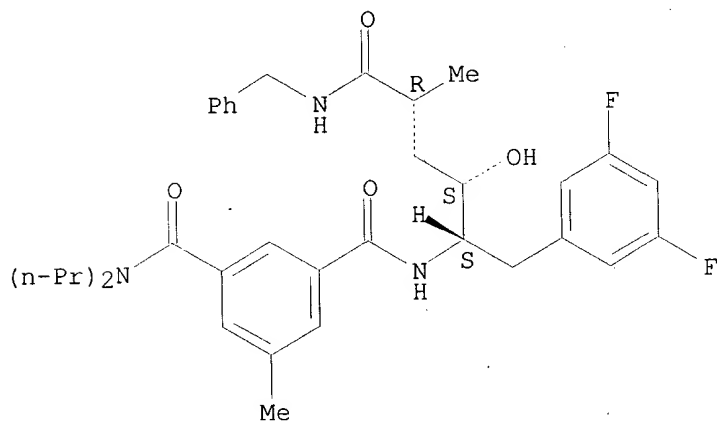
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.1.3,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



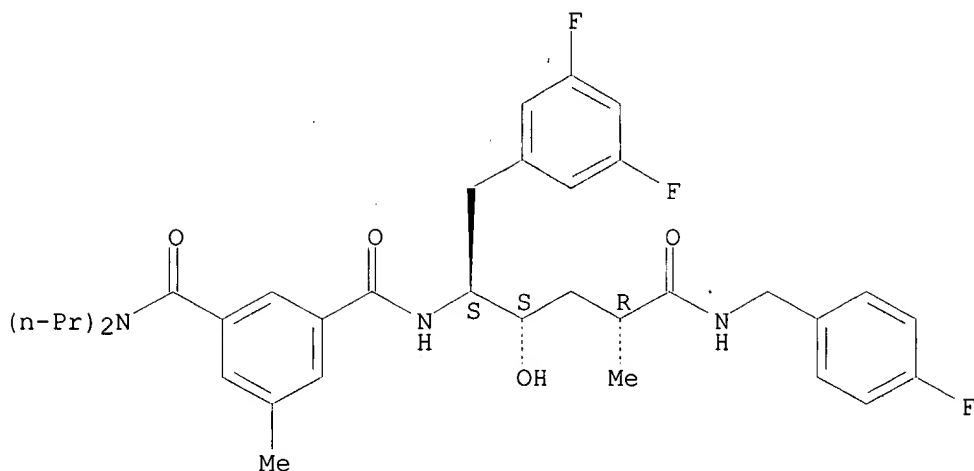
RN 362480-35-1 USPATFULL  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-36-2 USPATFULL  
 CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[[4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

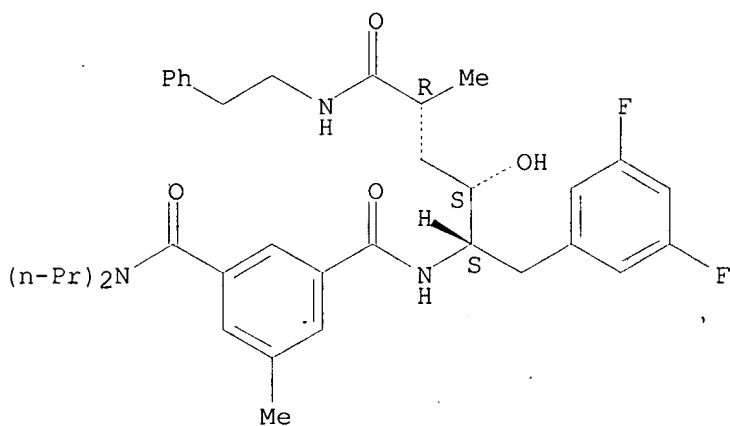
Absolute stereochemistry.



RN 362480-37-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

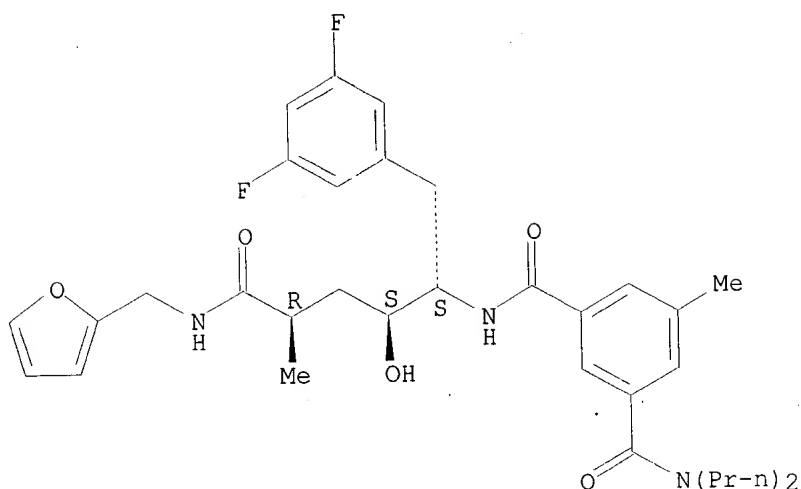
Absolute stereochemistry.



RN 362480-38-4 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-  
[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-  
dipropyl- (9CI) (CA INDEX NAME)

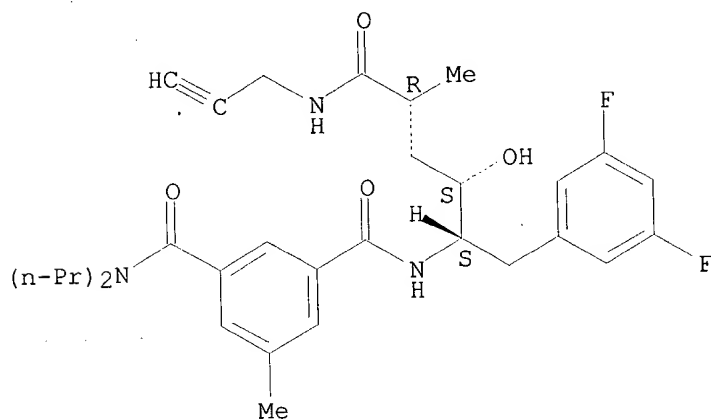
Absolute stereochemistry.



RN 362480-39-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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